Access DB# 22064

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: _____ Date: 08/03/00
Art Unit: 1624 Phone Number 30 6-5814 Serial Number: 09/548,081
Mail Box and Bldg/Room Location: 4C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

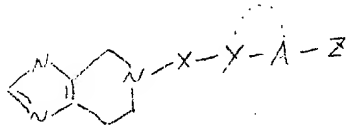
Inventors (please provide full names): _____

Point of Contact:
John Dantzman
Technical Info. Specialist
CM1 1E05 Tel: 308-4488

Earliest Priority Filing Date: _____

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

John please !



R^{13} R^{14} R^{15} may form a polycyclic ring

R^{16}, R^{17} may form a heterocyclic ring

X is a bond, $-CH_2-$, $-C(=O)-$, $-C(=S)-$, $-S(=O)-$, $-S(=O)_2-$, $-C(=N-CN)-$, $-C(=CH-NO_2)-$, $-C[=C(CN)_2]-$, $-C(=CH-CN)-$, $-C(=NR^{16})-$, $-C(=N-S(=O)_2R^{16a})-$

Y is a bond, $-O-$, or NR^{12}

A is a bond, alkylene, alkenylene, ~~alkynylene~~ alkynylene, C3-8 -cycloalkylene, phenylene, or together with R^{13} is a hetero ring

Z is R^{13}, OR^{13}, SR^{13}, $NR^{13}R^{14}$, $CHR^{15}R^{16}$, $CR^{17}R^{18}R^{19}$ or $=CR^{13}R^{14}$

See also proviso on page 146-147

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STAFF USE ONLY

Searcher: JOHN DANTZMAN
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: 8-11-00
Date Completed: 8-18-00
Searcher Prep & Review Time: 90
Clerical Prep Time: _____
Online Time: 120

Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) 20
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

Vendors and cost where applicable

STN ✓
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

PTO-1590 (1-2000)

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=> d his

     (FILE 'HOME' ENTERED AT 07:03:25 ON 18 AUG 2000)

     FILE 'REGISTRY' ENTERED AT 07:03:33 ON 18 AUG 2000
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L1                STR
L2           839 SEA FILE=REGISTRY SSS FUL L1
               ---------
L3                STR L1
L4            13 S L3
L5            16 S L3 SSS SAM SUB=L2
L6                STR L3
L7            13 S L6
L8           426 S L6 SSS FUL SUB=L2

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L9           145 S L8

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L11           13 S L10 SSS SAM SUB=L8
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                 ---------
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L14               STR
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L20               STR
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L24               STR
L25 (         839)SEA FILE=REGISTRY SSS FUL L24
L26             0 SEA FILE=REGISTRY SUB=L25 SSS FUL (L12 OR L13 OR L14 OR L15
OR
                 ---------
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L28               STR L13
L29               STR L14
L30               STR L15
L31               STR L16
L32               STR L17
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L37               STR L22
L38               STR L23
L39               STR L24
L40             0 S L10 NOT (L27-L39) SSS SAM SUB=L2
                     Searched by John Dantzman   703-308-4488
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L41           0 S L6 NOT (L27-L39) SSS SAM SUB=L2
L42          30 S L27-L39 SSS SAM SUB=L2
L43           3 S L27-L38 SSS SAM SUB=L2
L44             STR L1
L45          16 S L44 NOT L27-L38 SSS SAM SUB=L2
L46             STR L1
L47          10 S L46 NOT (L27-L38) SSS SAM SUB=L2
L48         179 S L46 NOT (L27-L38) SSS FUL SUB=L2

     FILE 'CAPLUS' ENTERED AT 07:23:14 ON 18 AUG 2000
L49          44 S L48

     FILE 'CAOLD' ENTERED AT 07:30:09 ON 18 AUG 2000
L50           5 S L48
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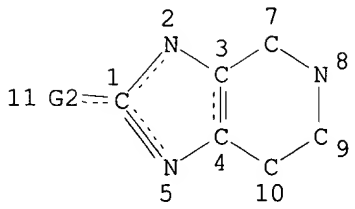
← 179 compounds

44 cites caplus

5 cites caold

=> d que 148

L1 STR



Broad

Parent Search

N @12 Ak @14 F3C—O
16 @17

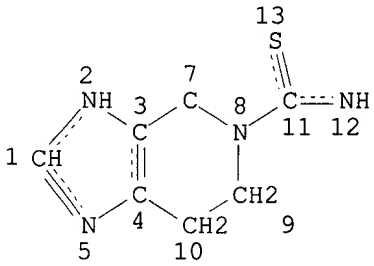
VAR G2=H/14/X/CN/CF3/17/OH/12
NODE ATTRIBUTES:
NSPEC IS RC AT 12
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L2 839 SEA FILE=REGISTRY SSS FUL L1
L27 STR

"Not"

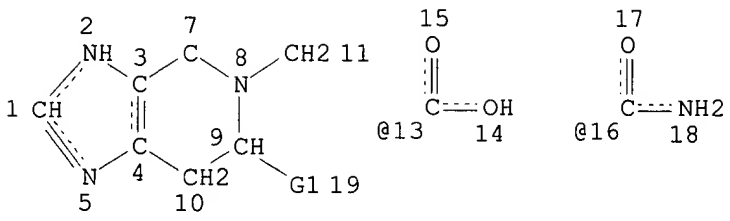
1 of 12



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L28 STR

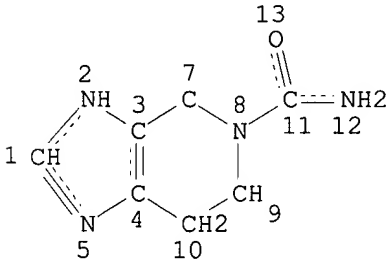


"Not" 2 of 12

VAR G1=13/16
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L29 STR

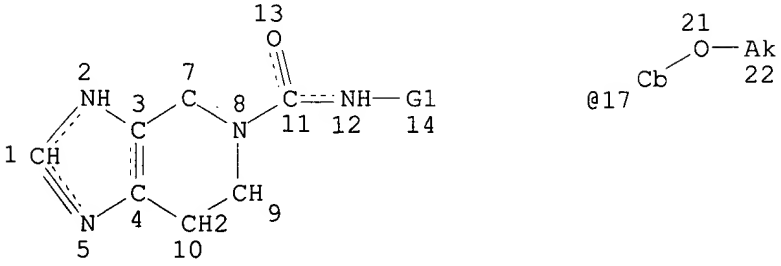


"Not" 3 of 12

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L30 STR



Cb @23 Ak @25

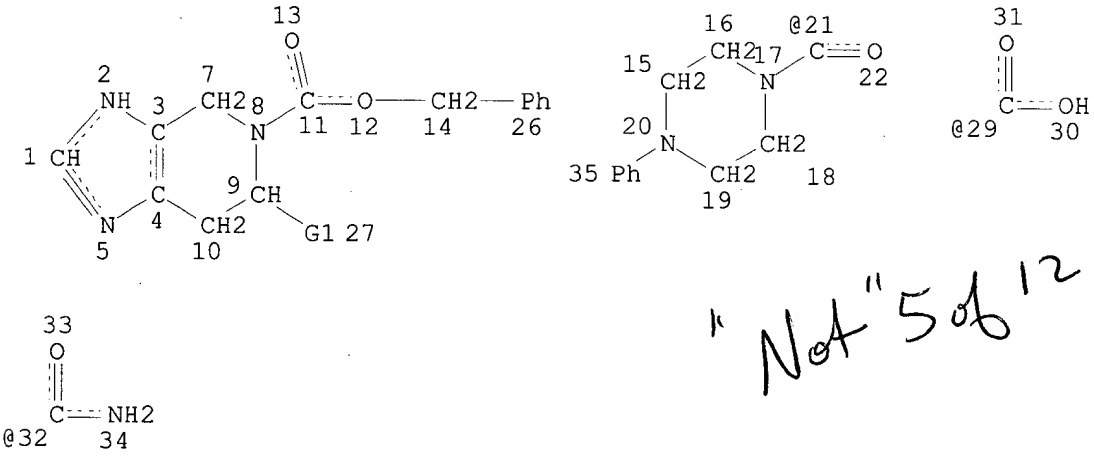
"Not" 4 of 12

VAR G1=PH/17/23/25

NODE ATTRIBUTES:
CONNECT IS E2 RC AT 17
CONNECT IS E1 RC AT 22
CONNECT IS E1 RC AT 23
CONNECT IS E1 RC AT 25
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 17
GGCAT IS SAT AT 23
GGCAT IS SAT AT 25
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
L31 STR

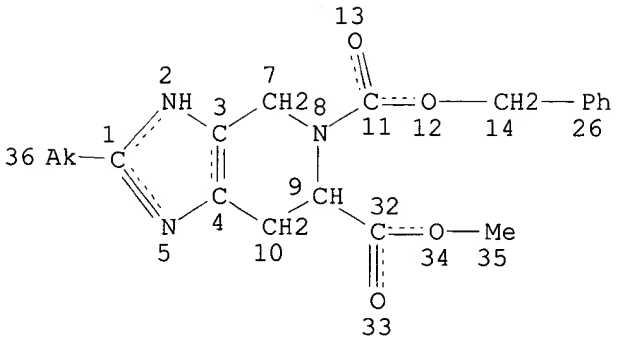


* Not 5 of 12

VAR G1=29/32/21
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE
L32 STR



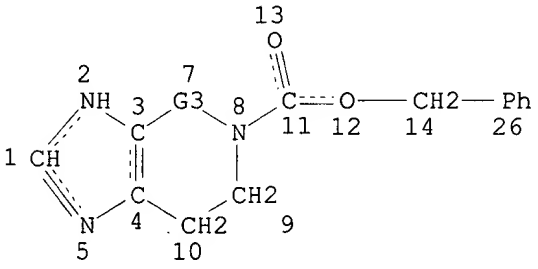
"Not"

6 of 12

NODE ATTRIBUTES:
CONNECT IS E1 RC AT 36
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C AT 36

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L33 STR



"Not"

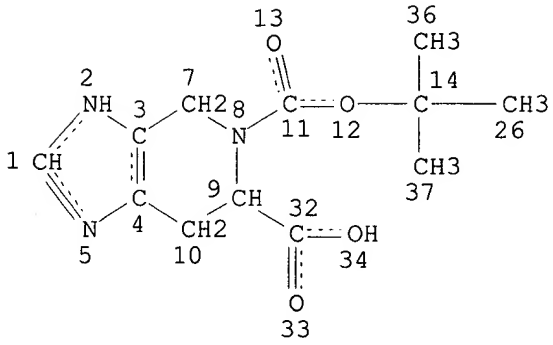
7 of 12

CH—Et CH—Pr-i CH—Ph
@27 28 @30 31 @33 34

VAR G3=CH2/27/30/33
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L34 STR

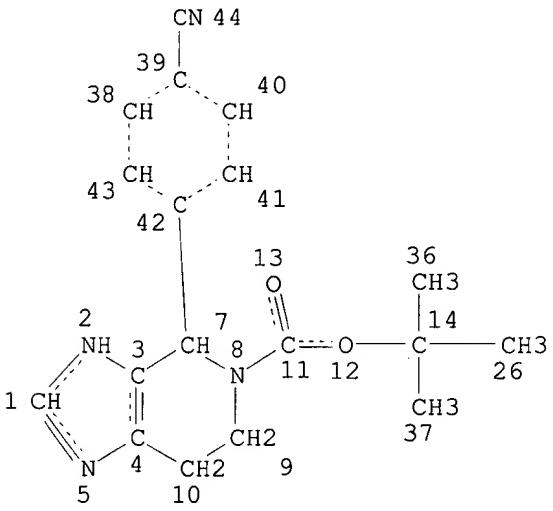


" Not " 8 of 12

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L35 STR

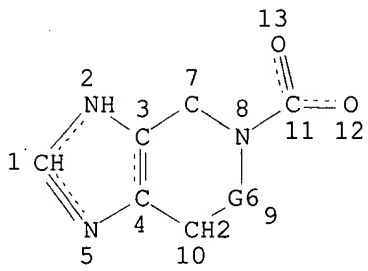


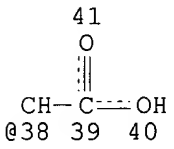
" Not " 9 of 12

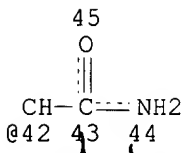
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
L36 STR





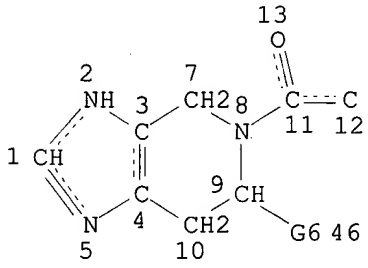


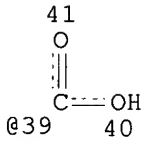
1: Not" 10 of 12

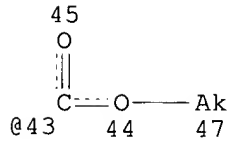
VAR G6=CH2/38/42
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

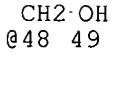
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L37 STR









11

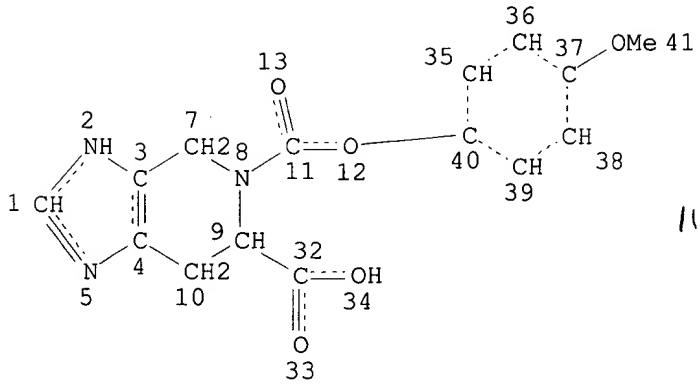
11 Not

11 of 12

VAR G6=39/43/48
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 12
CONNECT IS E1 RC AT 47
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
L38 STR

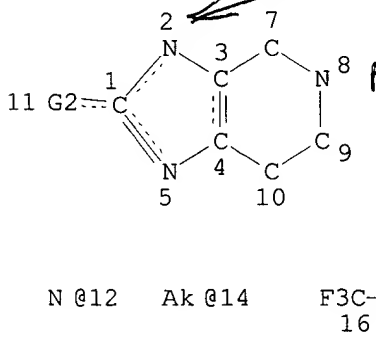


"Nut" 12 of 12

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
L46 STR



N @12 Ak @14 F3C—O
16 @17

Requires H to be here

Further refining of broad base compound

Requires substitution to be here

Isolated Ring

VAR G2=H/14/X/CN/CF3/17/OH/12
NODE ATTRIBUTES:
NSPEC IS RC AT 12
CONNECT IS E2 RC AT 2
CONNECT IS E2 RC AT 5
CONNECT IS E3 RC AT 8
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L48 179 SEA FILE=REGISTRY SUB=L2 SSS FUL L46 NOT ((L27 OR L28 OR L29

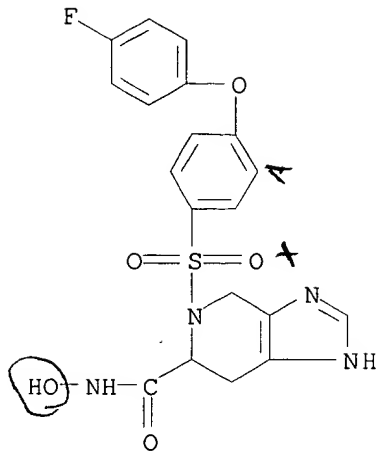
OR L30 OR L31 OR L32 OR L33 OR L34 OR L35 OR L36 OR L37 OR L38))

=> d bib abs hitstr

L49 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 2000:84604 CAPLUS
DN 132:141951
TI Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions
IN Bocan, Thomas Michael Andrew
PA Warner-Lambert Company, USA
SO PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000004892	A2	20000203	WO 1999-US13948	19990618
	WO 2000004892	A3	20000518		
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9947017	A1	20000214	AU 1999-47017	19990618
PRAI	US 1998-93639		19980721		
	WO 1999-US13948		19990618		

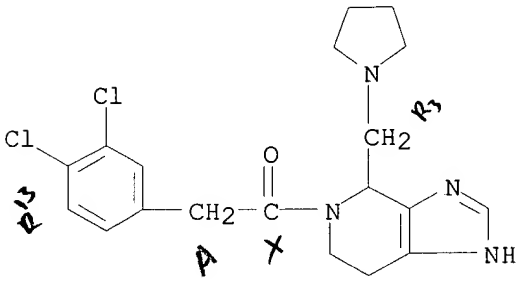
AB Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the redn. of both the macrophage and smooth muscle cell component of atherosclerotic lesions, thus impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simavastatin, in rabbits was studied. A tablet contained 2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid
25
ACAT compd. lactose 50, corn starch 20, and magnesium stearate 5 mg.
IT **256647-31-1**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. ACAT and MMP inhibitors for treatment
of
atherosclerotic lesions)
RN 256647-31-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-[[4-(4-fluorophenoxy)phenyl]sulfonyl]-4,5,6,7-tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 2

L49 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 2000:17749 CAPLUS
DN 132:161039
TI .kappa.-opioid receptors behind the blood-brain barrier are involved in the anti-hypertensive effects of systemically administered .kappa.-agonists in the conscious spontaneously hypertensive rat
AU Shen, S.; Ingenito, A. J.
CS Department of Pharmacology, School of Medicine, East Carolina University, Greenville, NC, 27858-4353, USA
SO J. Pharm. Pharmacol. (1999), 51(11), 1251-1256
CODEN: JPPMAB; ISSN: 0022-3573
PB Royal Pharmaceutical Society of Great Britain
DT Journal
LA English
AB We have shown previously that chronic intrahippocampal, i.p. and s.c. administrations of non-peptide opioid receptor agonists induced depressor responses in the spontaneously hypertensive rat (SHR). However, it is not clear whether the hypotensive effect of systemic administration involves .kappa. receptors behind the blood-brain barrier. In this study, the relative roles of central vs peripheral .kappa.-opioid receptors in the hypotensive effect of .kappa.-agonists was examd. in conscious SHRs following chronic s.c. administration of two selective .kappa.-agonists, BRL 52656 which freely penetrates the blood-brain barrier, and BRL 52974 which has only limited ability to do so. Initial studies detd. the dose-response relationship for each of the two drugs given i.p. twice a day, while monitoring systolic arterial pressure (SAP), mean arterial pressure (MAP) and heart rate (HR) measured by the tail-cuff method. Both drugs caused biphasic arterial pressure responses, with lower doses of BRL 52656 causing depressor effects and higher doses resulting in pressor effects. By contrast, lower doses of BRL 52974 caused pressor effects and higher doses depressor effects. The biphasic effects occurred with BRL 52656 from 0.01 to 3.0 mg kg-1 and that for BRL 52974 from 0.1 to 30 mg kg-1. In subsequent studies the drugs were infused chronically, s.c. via osmotic mini-pumps over a 14-day period, BRL 52656 at 0.2 or 0.5 mg kg-1/day and BRL 52974 at 0.2 mg kg-1/day. At lower doses, BRL 52656 decreased SAP, MAP and HR but at higher doses only bradycardia was obsd. BRL 52974 given chronically s.c. over 14 days had no significant effects on arterial pressure and decreased heart rate only after seven days of treatment. Collectively, the results established that only the .kappa.-agonist, which gained access to the central nervous system, lowered arterial pressure and heart rate, whereas the compd. with limited ability to cross the blood-brain barrier was ineffective at equiv. doses. The complex dose-response pattern found with both drugs suggests that .kappa.-agonists have central hypotensive and bradycardic actions at low doses but at higher doses a mixt. of both central and peripheral actions leads to hypertension and tachycardia.
IT **145544-79-2**, BRL 52974
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.kappa.-opioid receptors behind the blood-brain barrier are involved in the anti-hypertensive effects of systemically administered

.kappa.-agonists in the conscious SHR)
RN 145544-79-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

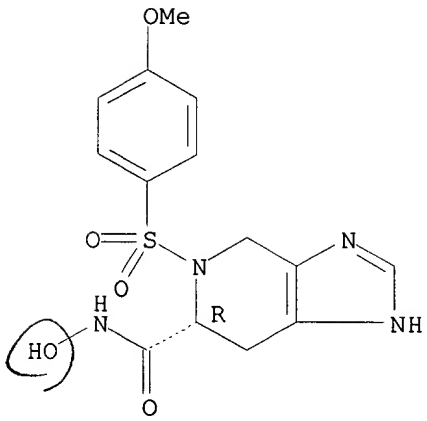


RE.CNT 25
RE
(1) Bhargava, H; J Pharmacol Exp Ther 1988, V245, P460 CAPLUS
(2) Brooks, D; J Pharmacol Exp Ther 1993, V266, P164 CAPLUS
(3) Carter, D; J Physiol 1985, V367, P363 CAPLUS
(4) Feuerstein, G; Life Sci 1982, V31, P2197 CAPLUS
(5) Gulati, A; Eur J Pharmacol 1988, V156, P247 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 3

L49 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1999:652921 CAPLUS
DN 132:18475
TI Affinity and Selectivity of Matrix Metalloproteinase Inhibitors: A Chemometrical Study from the Perspective of Ligands and Proteins
AU Matter, Hans; Schwab, Wilfried
CS Hoechst Marion Roussel Chemical Research, Frankfurt am Main, D-65926, Germany
SO J. Med. Chem. (1999), 42(22), 4506-4523
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A novel strategy to understand affinity and selectivity for enzyme inhibitors using information from ligands and target protein 3D structures is described. It was applied to 2-arylsulfonyl-1,2,3,4-tetrahydro-isoquinoline-3-carboxylates and -hydroxamates as inhibitors of the matrix metalloproteinases MMP-3 (stromelysin-1) and MMP-8 (human neutrophil collagenase). As the first step, consistent and predictive 3D-QSAR models were derived using CoMFA, CoMSIA, and GRID/Golpe approaches, leading to the identification of binding regions where steric, electronic, or hydrophobic effects are important for affinity. These models were validated using multiple analyses using two or five randomly chosen cross-validation groups and randomizations of biol. activities. Second, 3D-QSAR models were derived based on the affinity ratio IC50(MMP-8)/IC50(MMP-3), allowing the identification of key ligand determinants for selectivity toward one of both enzymes. In addn. to this ligands' view, the third step encompasses a chemometrical approach based on principal component anal. (PCA) of multivariate GRID descriptors to uncover the major differences between both protein binding sites with respect to their GRID probe interaction pattern. The resulting information, based on the accurate knowledge of the target protein 3D structures, led to a consistent picture in good agreement with exptl. obsd. differences in selectivity toward MMP-8 or MMP-3. The interpretation of all three classes of statistical models leads to detailed SAR information for MMP inhibitors, which is in agreement with available data for binding site topologies, ligand affinities, and selectivities. Thus the combined chem. analyses provide guidelines and accurate activity predictions for designing novel, selective MMP inhibitors.
IT **191327-21-6**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(affinity and selectivity of matrix metalloproteinase inhibitors: chemometrical study from perspective of ligands and proteins)
RN 191327-21-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



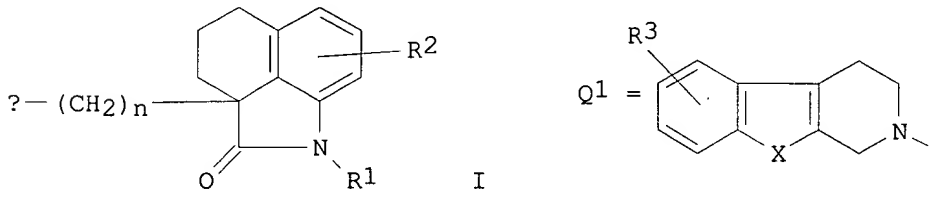
RE.CNT 41
RE
(1) Becker, J; Protein Sci 1995, V4, P1966 CAPLUS
(2) Beckett, R; Drug Discuss Today 1996, V1, P16 CAPLUS
(4) Beckett, R; Exp Opin Ther Patents 1998, V8, P259 CAPLUS
(5) Betz, M; Eur J Biochem 1997, V247, P356 CAPLUS
(7) Cheng, Y; Biochem Pharm 1973, V22, P3099 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 4

L49 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1999:460401 CAPLUS
DN 131:87906
TI Preparation of tetrahydrobenzindole derivatives for treatment and prevention of diseases caused by abnormality in serotonin regulatory system
IN Kikuchi, Chika; Ando, Takashi; Fuji, Kazuyuki; Okuno, Masayo; Satoh, Eriko; Shiiyama, Masako; Ushiroda, Osamu; Koyama, Masao; Hiranuma, Toyokazu
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9933804	A1	19990708	WO 1998-JP5827	19981222
	W: CA, CN, JP, KR, NO, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 11189585	A2	19990713	JP 1997-358381	19971225

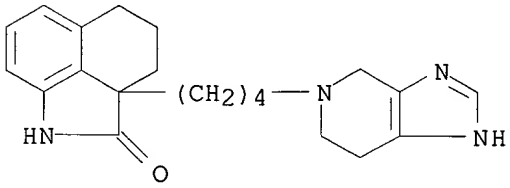
PRAI JP 1997-358380 19971225
JP 1997-358381 19971225
JP 1998-85913 19980331
JP 1998-136872 19980519
JP 1998-229709 19980814
JP 1998-319336 19981110
OS MARPAT 131:87906
GI



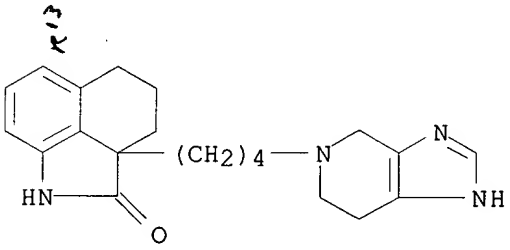
AB Compds. I [.alpha. = Q1, etc.; R1 = H, alkyl, etc.; R2 = H, halo, etc.; X = NR10, etc. (R10 = H, etc.); n = 2 to 6; R3 = H, etc.] are prepd. Thus, 2a-(4-bromobutyl)-2a,3,4,5-tetrahydro-1H-benz[cd]indol-2-one 150 mg was reacted with 2,3,4,9-tetrahydro-1H-pyrido[2,4-b]indole 168 mg to give 2a-[4-(2,3,4,9-tetrahydro-1H-pyrido[2,4-b]indole)butyl]-2a,3,4,5-tetrahydro-1H-benz[cd]indol-2-one 73 mg, showing Ki values 227 nM in affinity test to 5-HT7 receptor, and 7 nM in affinity test to 5-HT2 receptor.
IT **230301-50-5P 230301-52-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tetrahydrobenzindole derivs. for treatment and prevention of diseases caused by abnormality in serotonin regulatory system)

RN 230301-50-5 CAPLUS
CN Benz[cd]indol-2(1H)-one,
2a,3,4,5-tetrahydro-2a-[4-(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)butyl]- (9CI) (CA INDEX NAME)



RN 230301-52-7 CAPLUS
CN Benz[cd]indol-2(1H)-one,
2a,3,4,5-tetrahydro-2a-[4-(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



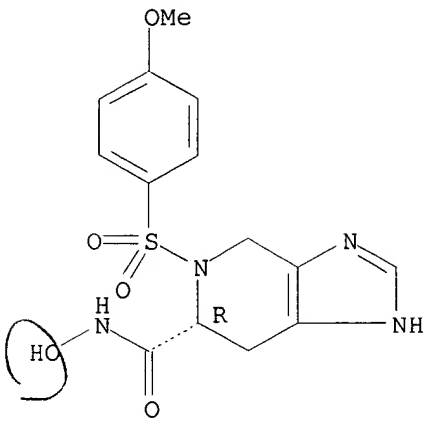
● HCl

RE.CNT 2
RE
(1) Carter, D; Eur J Pharmacol 1995, V280(3), P243 CAPLUS
(2) Leysen, J; Mol Pharmacol 1996, V50(6), P1567 CAPLUS

=> d bib abs hitstr 5

L49 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1999:308109 CAPLUS
DN 131:138914
TI Quantitative Structure-Activity Relationship of Human Neutrophil Collagenase (MMP-8) Inhibitors Using Comparative Molecular Field Analysis and X-ray Structure Analysis
AU Matter, Hans; Schwab, Wilfried; Barbier, Denis; Billen, Guenter; Haase, Burkhard; Neises, Bernhard; Schudok, Manfred; Thorwart, Werner; Schreuder, Herman; Brachvogel, Volker; Loenze, Petra; Weithmann, Klaus Ulrich
CS Chemical Research Core Research Functions, Hoechst Marion Roussel, Frankfurt am Main, D-65926, Germany
SO J. Med. Chem. (1999), 42(11), 1908-1920
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A set of 90 novel 2-(arylsulfonyl)-1,2,3,4-tetrahydroisoquinoline-3-carboxylates and -hydroxamates as inhibitors of the matrix metalloproteinase human neutrophil collagenase (MMP-8) was designed, synthesized, and investigated by 3D-QSAR techniques (CoMFA, CoMSIA) and x-ray structure anal. Docking studies of a ref. compd. are based on crystal structures of MMP-8 complexed with peptidic inhibitors to propose a model of its bioactive conformation. This model was validated by a 1.7 .ANG. x-ray structure of the catalytic domain of MMP-8. The 3D-QSAR models based on a superposition rule derived from these docking studies were validated using conventional and cross-validated r2 values using the leave-one-out method, repeated analyses using two randomly chosen cross-validation groups plus randomization of biol. activities. This led to consistent and highly predictive 3D-QSAR models with good correlation coeffs. for both CoMFA and CoMSIA, which were found to correspond to exptl. detd. MMP-8 catalytic site topol. in terms of steric, electrostatic, and hydrophobic complementarity. Subsets selected as smaller training sets using 2D fingerprints and max. dissimilarity methods resulted in 3D-QSAR models with remarkable correlation coeffs. and a high predictive power. This allowed to compensate the weaker zinc binding properties of carboxylates by introducing optimal fitting P1' residues. The final QSAR information agrees with all exptl. data for the binding topol. and thus provides clear guidelines and accurate activity predictions for novel MMP-8 inhibitors.
IT **191327-21-6**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(QSAR of (arylsulfonyl)tetrahydroisoquinoline carboxylates and -hydroxymates as human neutrophil collagenase (MMP-8) inhibitors)
RN 191327-21-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 69
RE
(3) Beeley, N; Curr Opin Ther Pat 1994, V4, P7 CAPLUS
(5) Betz, M; Eur J Biochem 1997, V247, P356 CAPLUS
(7) Bode, W; EMBO J 1994, V13, P1263 CAPLUS
(9) Burley, S; Science 1985, V229, P23 CAPLUS
(10) Caldwell, C; Bioorg Med Chem Lett 1996, V6, P323 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 6

L49 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1998:612094 CAPLUS
DN 129:245172
TI Preparation of 4,4-difluoro-2,3,4,5-tetrahydro-1H-1-benzazepine derivatives as oxytocin antagonists
IN Matsuhisa, Akira; Murakami, Takeshi; Sakuda, Shuichi; Kawano, Noriyuki; Shibasaki, Kumiko; Tanaka, Akihiro
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9839325	A1	19980911	WO 1998-JP916	19980305
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9861204	A1	19980922	AU 1998-61204	19980305
EP 987264	A1	20000322	EP 1998-905779	19980305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				

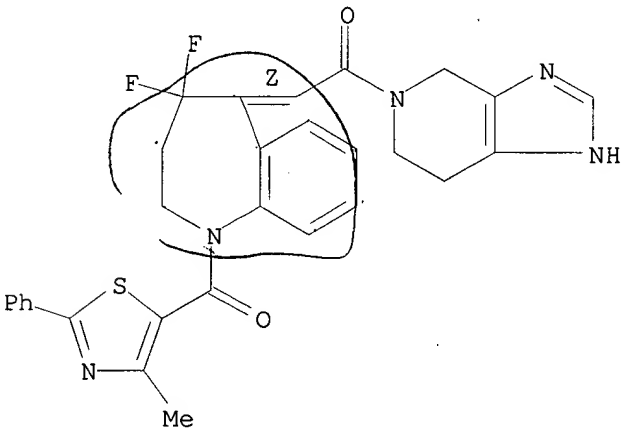
PRAI JP 1997-52163 19970306
WO 1998-JP916 19980305
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title 4,4-Difluoro-2,3,4,5-tetrahydro-1H-1-benzazepine derivs. represented by formula (I) or salts thereof (wherein A is 5-membered heteroarylene; B is optionally substituted aryl or 5- or 6-membered heteroaryl; D is carbonyl or lower alkylene; R1 is -NR3R4, -O-(lower alkyl) or OH; R2 is optionally halogenated lower alkyl, -O-(lower alkyl), -S-(lower alkyl) or -CO-(lower alkyl); R3 and R4 are each independently hydrogen, lower alkyl or the like; and n is 0, 1 or 2). The above compds. exhibit the oxytocin antagonism and are effective in inhibiting threatened premature birth or abortion, or precesarean birth and useful as remedies for dysmenorrhea and so on (no data). Thus, 1H-benzoazepin-5-ylideneacetic acid deriv. (II; R = OH) was condensed with 2-(piperazin-1-yl)ethanol using DCC at room temp. for 18 h to give II (R = Q).

IT **213021-71-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of difluorotetrahydrobenzazepine derivs. as oxytocin antagonists)
RN 213021-71-7 CAPLUS
CN 1H-1-Benzazepine, 4,4-difluoro-2,3,4,5-tetrahydro-1-[(4-methyl-2-phenyl-5-thiazolyl)carbonyl]-5-[2-oxo-2-(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)ethylidene]-, monohydrochloride, (5Z)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

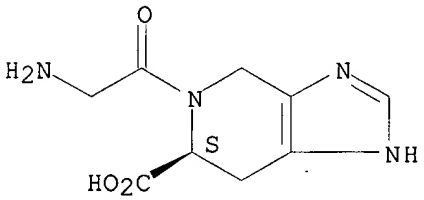


● HCl

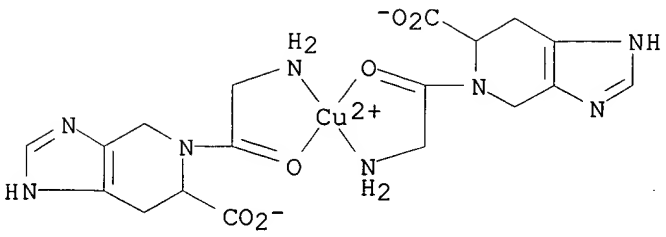
=> d bib abs hitstr 7

L49 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1998:120508 CAPLUS
DN 128:249173
TI Synthesis of glycyl-L-spinacine and study of its protonation and Cu(II) complex-formation equilibria in aqueous solution
AU Conato, Chiara; Remelli, Maurizio; Guerrini, Remo; Pulidori, Fernando
CS Department of Chemistry, University of Ferrara, Ferrara, I-44100, Italy
SO Ann. Chim. (Rome) (1998), 88(1-2), 91-102
CODEN: ANCRAI; ISSN: 0003-4592
PB Societa Chimica Italiana
DT Journal
LA English
AB A new dipeptide, glycyl-L-spinacine, was synthesized and fully characterized. Protonation consts. were detd. and binary Cu(II) complex formation equil. investigated in an aq. soln. (25.degree., I = 0.1 mol dm-3, KNO3) using the potentiometric and spectrophotometric techniques. Formation of mononuclear and binuclear complex species was found. Binding sites and structure hypotheses are discussed from exptl. and literature data available.
IT **205066-92-8P**, Glycyl-L-spinacine
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., protonation and copper(II) complexation equil.)
RN 205066-92-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(aminoacetyl)-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

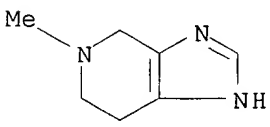


IT **205066-93-9**
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(stability const.)
RN 205066-93-9 CAPLUS
CN Copper, bis[5-[(amino-.kappa.N)acetyl-.kappa.O]-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylato]-, [SP-4-1-(S),(S)]- (9CI) (CA INDEX NAME)


-O2C
NH
H2
N
O
N
N
Cu2+
N
HN
O
N
H2
CO2-

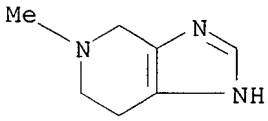
=> d bib abs hitstr 8

L49 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1997:801522 CAPLUS
DN 128:75655
TI Synthesis of spinacine and spinacine derivatives: crystal and molecular structures of N.pi.-hydroxymethyl spinacine and N.alpha.-methyl spinaceamine
AU Remelli, Maurizio; Pulidori, Fernando; Guerrini, Remo; Bertolasi, Valerio
CS Dipartimento di Chimica, Universita di Ferrara, Ferrara, I-44100, Italy
SO J. Chem. Crystallogr. (1997), 27(9), 507-513
CODEN: JCCYEV; ISSN: 1074-1542
PB Plenum Publishing Corp.
DT Journal
LA English
AB The natural amino acid L-Spinacine (4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid) has been synthesized following a new pathway which gives a chem. and optically pure product with an excellent yield. The crystal structures of a synthetic intermediate, N.pi.-hydroxymethylspinacine, and a spinacine deriv., N.alpha.-methylspinaceamine, have been investigated through X-ray diffraction. Spi(.pi.MeOH) crystallizes with a water mol. and displays a zwitterionic character. The carboxylate group is in equatorial position and forms a short electrostatic interaction of 2.618(2) .ANG. between one of its oxygens and the protonated nitrogen of the tetrahydropyridine ring. The crystal packing is assured by strong OH---O, OH---N, NH---N intermol. hydrogen bonds and CH---O close contacts. The biprotonated compds. Spm(.alpha.Me) crystallizes with two Cl- anions and a water mol. The pos. charge on the imidazole ring is delocalized on the conjugated moiety N=C-N. The crystal is built up by clusters formed by two biprotonated Spm(.alpha.Me) mols., four Cl- anions and two water mols. linked together by hydrogen bonds.
IT **92223-95-5P 200575-98-0P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and crystal and mol. structure of spinacine and spinaceamine derivs.)
RN 92223-95-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 200575-98-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, monohydrate (9CI) (CA INDEX NAME)



● 2 HCl

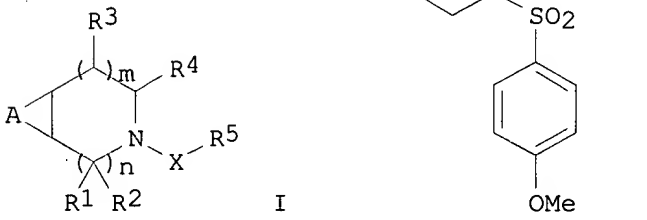
● H_2O

=> d bib abs hitstr 9

L49 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1997:720114 CAPLUS
DN 128:13253
TI Fused pyridine N-hydroxy carboxamide derivatives and analogs as inhibitors
of metalloproteases, process for their preparation, and pharmaceutical compositions containing them
IN De Nanteuil, Guillaume; Paladino, Joseph; Remond, Georges; Atassi, Ghanem;
Pierre, Alain; Tucker, Gordon; Bonnet, Jacqueline; Sabatini, Massimo
PA Adir Et Compagnie, Fr.
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 803505	A1	19971029	EP 1997-400913	19970423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
FR 2748026	A1	19971031	FR 1996-5321	19960426
FR 2748026	B1	19980605		
NO 9701862	A	19971027	NO 1997-1862	19970423
CA 2203618	AA	19971026	CA 1997-2203618	19970424
AU 9719121	A1	19971030	AU 1997-19121	19970424
AU 713680	B2	19991209		
CN 1165817	A	19971126	CN 1997-109728	19970425
JP 10059936	A2	19980303	JP 1997-108954	19970425
US 5866587	A	19990202	US 1997-842982	19970425

PRAI FR 1996-5321 19960426
OS CASREACT 128:13253; MARPAT 128:13253
GI



I

II

Searched by John Dantzman 703-308-4488

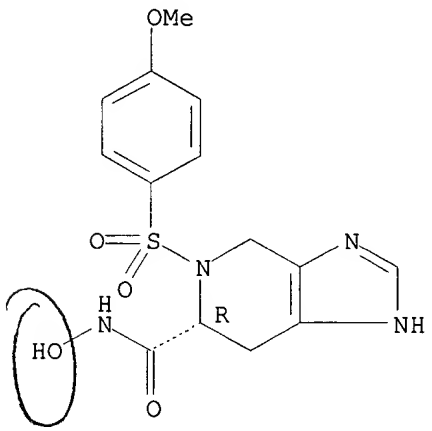
AB Title compds. I are disclosed [wherein m, n = 0, 1, 2; R1, R2 = H, alkyl, aralkyl, aryl; or R1R2 = O, alkylene; R3 = H, alkyl, OH, alkoxy, or aryl; R4 = CONR6OR6', CSNR6OR6', C(:NH)NR6OR6', CO2R7, NHCONHOH, NHCH2CO2R7, CH(NHR7')CO2R7, CH(CO2R7)2; X = SO2, CO, SO2NH; R5 = alkyl (optionally bearing halo, OH, alkoxy, aryl, or CO2R7), cycloalkyl, aryl, or heterocyclyl; R6, R6' = H or alkyl; R7, R7' = H, alkyl, aralkyl; A = fused arom. (with provisos) or heterocyclic ring]. I are metalloprotease inhibitors, potentially useful for treatment of cancer, rheumatoid arthritis, atherosclerosis, etc. Examples include 30 syntheses of I, 19 prophetic compds., 4 biol. screens for selected compds., and a formulation. For instance, (R)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine-6-carboxylic acid hydrochloride underwent a sequence of N-sulfonylation with 4-MeOC6H4SO2Cl, amidation with H2NOCH2CH:CH2.HCl, and Pd-mediated deallylation, to give preferred title compd. II. In tests for protection of guinea pig cartilaginous matrix against IL-1.beta.-induced degrdn., II gave 98% protection of collagens and 45% protection of proteoglycans.

IT **191326-89-3P 191327-21-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused pyridine N-hydroxy carboxamide derivs. and analogs as metalloprotease inhibitors)

RN 191326-89-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

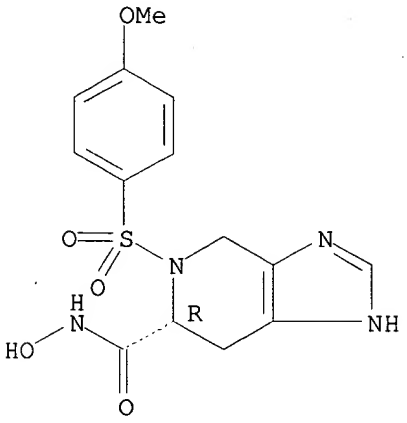


● HCl

RN 191327-21-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

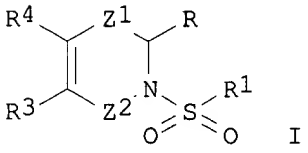


=> d bib abs hitstr 10

L49 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1997:443319 CAPLUS
DN 127:65701
TI Preparation of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids and analogs as matrix metalloproteinase inhibitors
IN Thorwart, Werner; Schwab, Wilfried; Schudok, Manfred; Haase, Burkhard; Bartnik, Eckart; Weithmann, Klaus-ulrich
PA Hoechst Aktiengesellschaft, Germany; Thorwart, Werner; Schwab, Wilfried; Schudok, Manfred; Haase, Burkhard; Bartnik, Eckart; Weithmann, Klaus-Ulrich
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9718194	A1	19970522	WO 1996-EP4776	19961104
	W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19542189	A1	19970515	DE 1995-19542189	19951113
	DE 19612298	A1	19971002	DE 1996-19612298	19960328
	AU 9675624	A1	19970605	AU 1996-75624	19961104
	AU 707707	B2	19990715		
	EP 861236	A1	19980902	EP 1996-938052	19961104
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000500145	T2	20000111	JP 1997-518542	19961104
	BR 9611479	A	19990713	BR 1996-11479	19970312
PRAI	DE 1995-19542189	19951113			
	DE 1996-19612298	19960328			
	WO 1996-EP4776	19961104			

OS MARPAT 127:65701
GI



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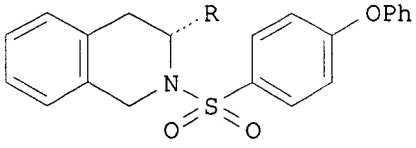


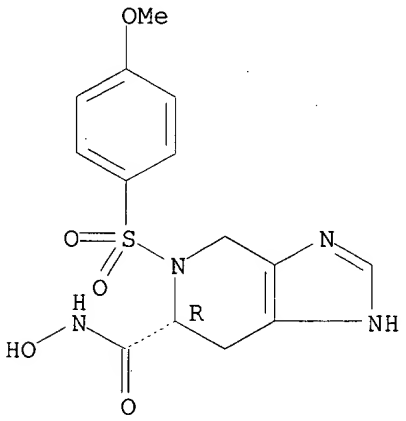
II

AB Title compds. [I; R = CO2H or CONHOH; R1 = (un)substituted phenyl(alkyl), -naphthyl, etc.; R3R4 = (un)substituted CH:CHCH:CH, atoms to complete a heterocyclic ring, etc.; Z1,Z2 = (CH2)0-2] were prepd. Thus, Me (R)-1,2,3,4-tetrahydroisoquinoline-3-carboxylate was N-sulfonate by 4-(PhO)C6H4SO2Cl and the product converted in 2 steps to title compd. II (R = CONHOH). Data for biol. activity of I were given.
IT **191326-89-3P 191327-21-6P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids
and analogs as matrix metalloproteinase inhibitors)

RN 191326-89-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

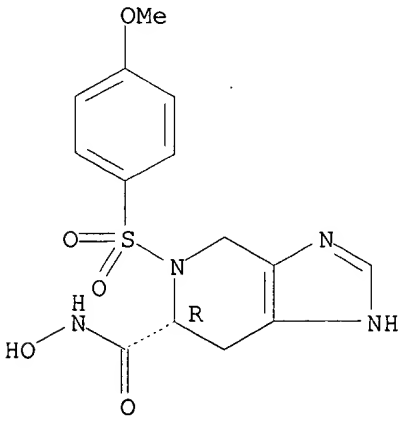
Absolute stereochemistry.



● HCl

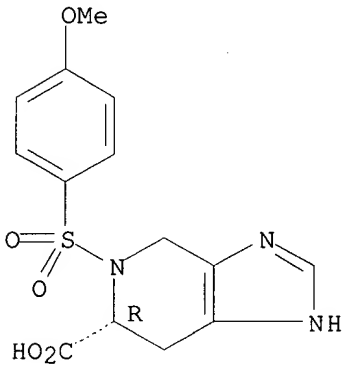
RN 191327-21-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **191327-25-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids
and analogs as matrix metalloproteinase inhibitors)
RN 191327-25-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> d bib abs hitstr 11

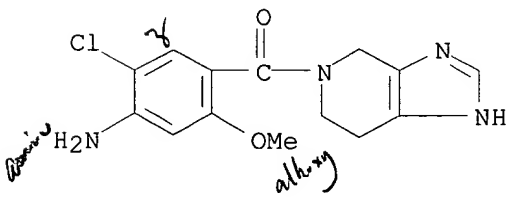
L49 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1997:85131 CAPLUS
DN 126:104085
TI Preparation of benzoic acid derivatives as 5-HT4 receptor agonists
IN Suzuki, Takeshi; Iwaoka, Kyoshi; Naito, Makoto; Myata, Keiji; Kamato, Takeshi; Oota, Mitsuaki
PA Yamanouchi Pharma Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08325234	A2	19961210	JP 1995-131264	19950530

OS MARPAT 126:104085
GI For diagram(s), see printed CA Issue.
AB The title compds. (Ia and Ib; Im = imidazolyl ring; A ring = 4-8 numbered cycloalkyl; n = 0-2; R2, R5, R6 = H, alkyl; B ring = 4-8 numbered N-contg. heterocyclyl; R3 = halo; R4 = lower alkoxy) are prepd. I, possessing 5-HT4 receptor antagonism, are useful for prevention and treatment of central and peripheral nervous system, digestive system, cardiovascular system, and urinary system diseases. Thus, 6-(tert-butoxycarbonylamino)-5,6,7,8-tetrahydroimidazo[1,2-a]pyridine was treated with aq. HCl to give the title compd. 6-amino-5,6,7,8-tetrahydroimidazo[1,2-a]pyridine. I showed 5-HT4 receptor antagonism.
IT **185796-85-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoic acid derivs. as 5-HT4 receptor agonists)
RN 185796-85-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-(4-amino-5-chloro-2-methoxybenzoyl)-4,5,6,7-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

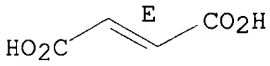
CRN 185796-84-3
CMF C14 H15 Cl N4 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



=> d bib abs hitstr 12

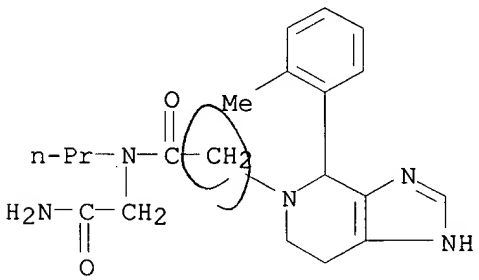
L19 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1996:436546 CAPLUS
DN 125:221700
TI Solid phase synthesis of tetrahydroisoquinolines and tetrahydroimidazopyridines
AU Hutchins, Steven M.; Chapman, Kevin T.
CS Dep. Mol. Design Diversity, Merck Res. Lab., Rahway, NJ, 07065, USA
SO Tetrahedron Lett. (1996), 37(28), 4865-4868
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 125:221700
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

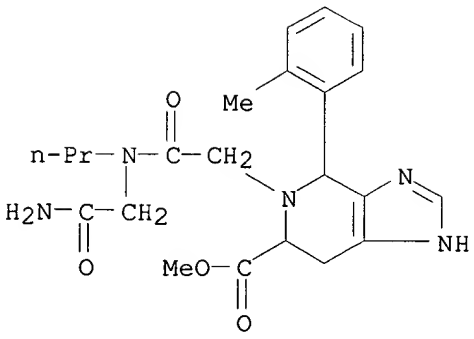
AB The prepn. of 1,2,3,4-tetrahydroisoquinolines I (R1 = Me, Et, CO2Me, R2 = H, Me, OH)and II (R3 = Ph, 2-MeC6H4, 4-O2NC6H4, 2-furyl, etc.) and 4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridines III (R1 = H, CO2Me) on a solid support has been developed. The route utilizes substituted m-tyramines, histamines and various arom., aliph. and heterocyclic aldehydes.

IT **181184-56-5P 181184-57-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of tetrahydroisoquinolines and -imidazopyridines)

RN 181184-56-5 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-acetamide, N-(2-amino-2-oxoethyl)-1,4,6,7-tetrahydro-4-(2-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)



RN 181184-57-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[2-[(2-amino-2-oxoethyl)propylamino]-2-oxoethyl]-4,5,6,7-tetrahydro-4-(2-methylphenyl)-, methyl ester (9CI) (CA INDEX NAME)


Me
O
n-Pr—N—C—CH2
H2N—C—CH2
O
N
N
NH
MeO—C
O

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=> d bib abs hitstr 13

L9  ANSWER 13 OF 44  CAPLUS  COPYRIGHT 2000 ACS
AN  1995:801429  CAPLUS
DN  123:256711
TI  Preparation of gastrin and CCK receptor ligands
IN  Kalindjian, Sarkis Barret; Steel, Katherine Isobel Mary; Pether, Michael
    John; Davies, Jonathan Michael Richard; Low, Caroline Minli Rachel;
    Hudson, Martin Lyn; Buck, Ildiko Maria; McDonald, Iain Mair; Dunstone,
    David John; Tozer, Matthew John
PA  James Black Foundation Ltd., UK
SO  PCT Int. Appl., 124 pp.
    CODEN: PIXXD2
DT  Patent
LA  English
FAN.CNT 2
    PATENT NO.          KIND  DATE          APPLICATION NO.  DATE
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PI  WO 9504720          A2    19950216      WO 1994-GB1741   19940809
    WO 9504720          A3    19950803
        W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB,
           GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW,
           NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ,
VN
        RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
           NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD,
TG
    AU 9473478          A1    19950228      AU 1994-73478    19940809
    AU 682051           B2    19970918
    EP 720601           A1    19960710      EP 1994-922318   19940809
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
    JP 09502430         T2    19970311      JP 1994-506306   19940809
    HU 75301            A2    19970528      HU 1996-70       19940809
    ZA 9405998          A     19960212      ZA 1994-5998     19940810
    GB 2290539          A1    19960103      GB 1995-2503     19950209
    WO 9532949          A1    19951207      WO 1995-GB1194   19950525
        W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
           GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
           MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
           TM, TT
        RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
           LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
           SN, TD, TG
    AU 9525342          A1    19951221      AU 1995-25342    19950525
    EP 763026           A1    19970319      EP 1995-919561   19950525
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
    JP 10504525         T2    19980506      JP 1995-500483   19950525
    ZA 9504315          A     19961126      ZA 1995-4315     19950526
    NO 9600488          A     19960315      NO 1996-488      19960206
    FI 9600572          A     19960207      FI 1996-572      19960207
    US 5795907          A     19980818      US 1996-583008   19960318
    US 5912260          A     19990615      US 1996-737725   19961219
    US 5919829          A     19990706      US 1998-64849    19980423
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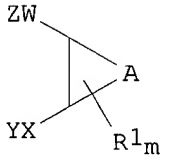
PRAI GB 1993-16608 19930810
GB 1994-10688 19940527
WO 1994-GB1741 19940809
GB 1995-2503 19950209
WO 1995-GB1194 19950525
OS MARPAT 123:256711
GI



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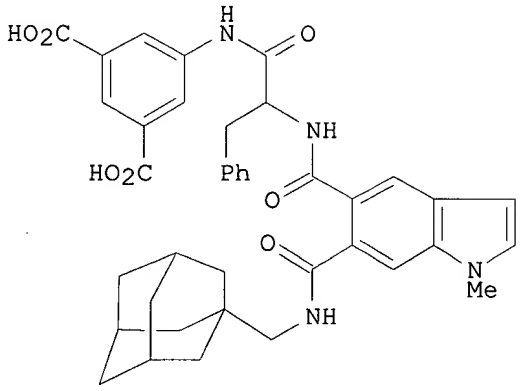


II

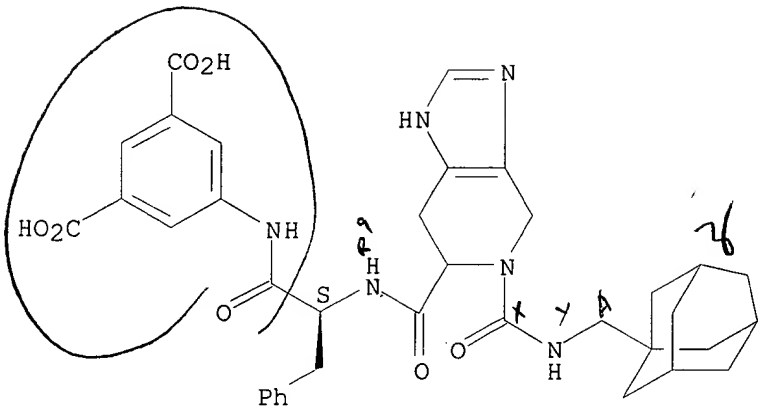
AB Title compds. [e.g. I; A = atoms to complete a bicyclic ring system; R1 = halo, NH2, cyano, OH, alkyl, CO2H, etc.; 1 of X, W = CO and the other = CO, SO, SO2; Y = NR3R4, hydrocarbyloxy, etc.; R3 = H, hydrocarbyl, etc.; R4 = H, alkyl, (un) esterified CH2CO2H; Z = OH, alkoxy, OPh, (un)substituted NH2, NHZ1R, etc.; R = H, cyano, alkyl, CH2OH, CO2H, etc.; Z1 = alkylene; m = 0-6] were prepd. Thus, 4-methylphthalic anhydride was converted in 6 steps to indole-5,6-dicarboxylic anhydride which was amidated by adamantane-1-methylamine and the product amidated by (S)-3,5-(PhH2CO2C)2C6H3NHCOCH(NH2)CH2Ph (prepn. given) to give, in 2 addnl. steps, title compd. (S)-II the di-N-methyl-D-glucamine salt of which had pKi of 9.4 for binding at mouse cortex CCKB receptors in vitro.

IT **167992-39-4P 167992-40-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of gastrin and CCK receptor ligands)

RN 167992-39-4 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 5-[[1-oxo-3-phenyl-2-[[[4,5,6,7-tetrahydro-5-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-imidazo[4,5-c]pyridin-6-yl]carbonyl]amino]propyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

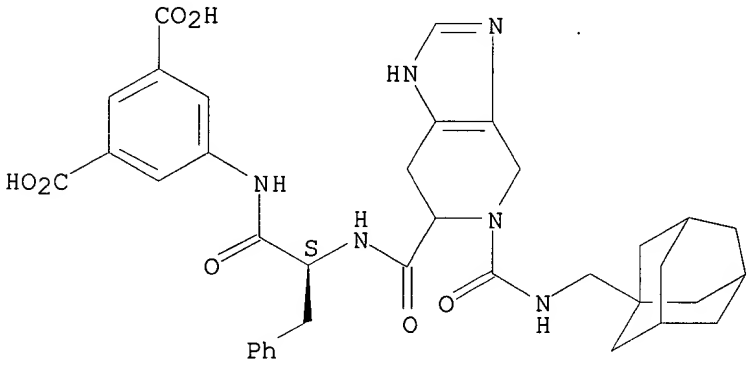


RN 167992-40-7 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-,
(S)-5-[[1-oxo-3-phenyl-2-[[[4,5,6,7-
tetrahydro-5-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-
imidazo[4,5-c]pyridin-6-yl]carbonyl]amino]propyl]amino]-1,3-
benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 167992-39-4
CMF C36 H40 N6 O7
CDES 1:S

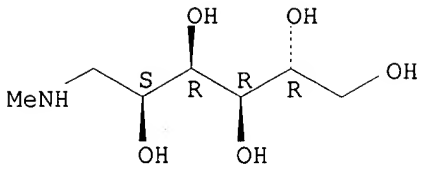
Absolute stereochemistry.



CM 2

CRN 6284-40-8
CMF C7 H17 N O5
CDES *

Absolute stereochemistry.


OH
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MeNH
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R
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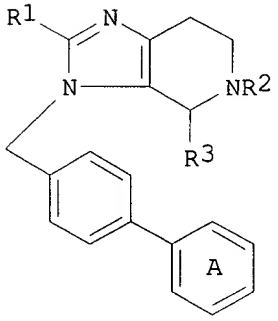
OH
OH

=> d bib abs hitstr 14

L49 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1995:750512 CAPLUS
DN 123:143899
TI Preparation of 3-(4-biphenylylmethyl)-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine derivatives as angiotensin II antagonists
IN Honma, Yasushi; Sekine, Yasuo; Nomura, Sumihiro; Naito, Kazuaki; Narita, Hiroshi
PA Tanabe Seiyaku Co, Japan
SO Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 06312926	A2	19941108	JP 1994-31109	19940301
PRAI JP 1993-43108		19930304		

OS MARPAT 123:143899
GI



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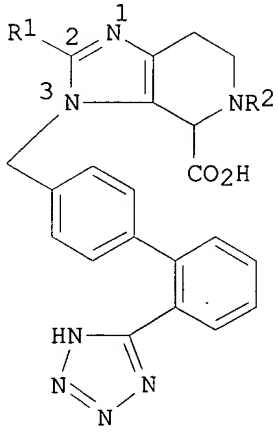


II

Same as 21

AB The title compds. [I; R1 = H, lower alkyl; R2 = H, lower alkylsulfonyl, C(O)R, CH2R; wherein R = (un)substituted lower alkyl, lower alkoxy, 5- or 6-membered monocyclic heterocyclyl, (un)substituted Ph, H, (un)substituted NH2, lower alkenyl; R3 = optionally esterified CO2H; ring A = Ph optionally having substituents] and pharmacol. acceptable salts are prepd.

An angiotensin II antagonist for the treatment and prevention of hypertension, nephritis, diabetic nephritis, primary aldosteronism, arteriosclerosis, dementia, brain circulation failure, chronic heart failure, and/or angina pectoris contains the compd. I as the active ingredient. Thus, Me 5-diphenylacetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine-4-carboxylate was dissolved in DMF, treated with NaH under

ice-cooling, and condensed with [2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl bromide to give, after detritylation with HCl in CHCl3/MeOH and sapon. with 1 N aq. NaOH in MeOH, title compd. (II.2Na; R1 = H, R2 = COCHPh2) and its 1-[2'-(1H-tetrazol-5-yl)biphenyl-4-yl]methyl regioisomer.

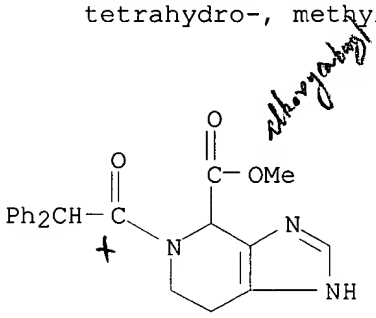
II.2Na (R1 = Pr, R2 = Ac) at 3 .times. 10-7 M in vitro inhibited 100% the angiotensin II-induced contraction of guinea pig aorta and at 3.0 mg/kg p.o. in vivo lowered the blood pressure of spontaneous hypertensive rats by 45 mmHg. It at 3 mg/kg administered in duodenum of dogs in vivo also inhibited 80% the angiotensin II-induced hypertension.

IT **166814-29-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate for prepn. of (biphenylylmethyl)tetrahydroimidazo[4,5-c]pyridine derivs. as angiotensin II antagonists for treatment of diseases)

RN 166814-29-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-4-carboxylic acid, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)

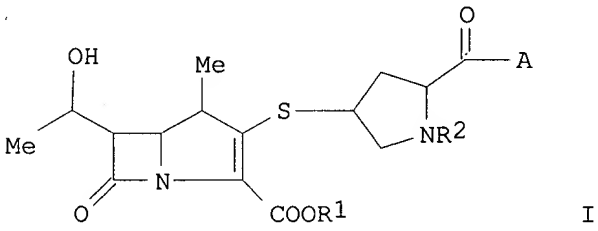


=> d bib abs hitstr 15

L49 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1995:721205 CAPLUS
DN 123:111747
TI Preparation of antibacterial 1-methylcarbapenem derivatives
IN Oida, Sadao; Tanaka, Teruo; Konosu, Toshuki; Mori, Makoto; Myaoka, Takeo; Tajima, Kazu
PA Sankyo Co, Japan
SO Jpn. Kokai Tokkyo Koho, 55 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07101959	A2	19950418	JP 1993-244299	19930930

OS MARPAT 123:111747
GI



I

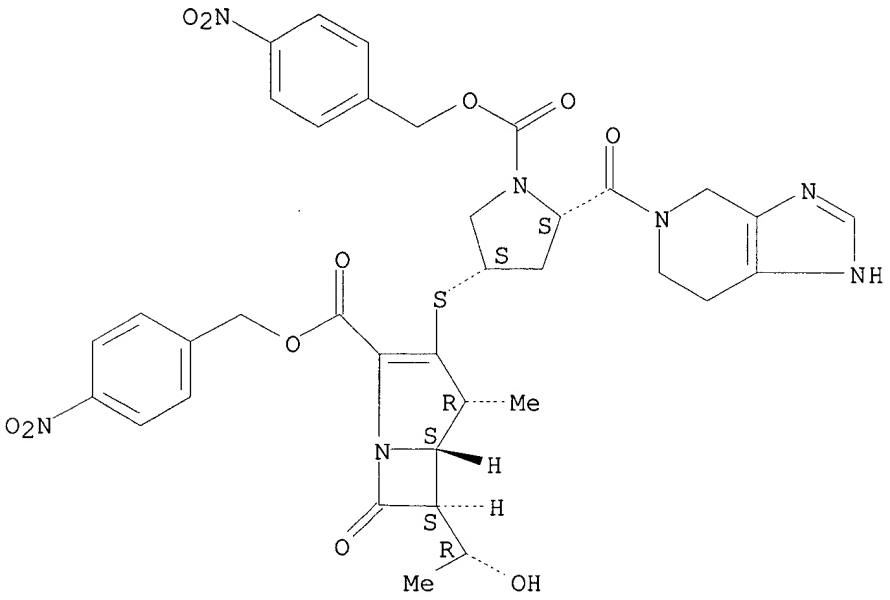
AB Title compds. I [R1 = H, protecting group; R2 = H, protecting group, alkyl, alkenyl, C(:NR3); R3 = H, protecting group; R4 = H, alkyl, amino; A = cyclic substituent], useful as antibacterials (no data), are prepd. Thus, (2S,4S)-4-acetylthio-1-(4-nitrobenzyloxycarbonyl)-2-[(1S,4S)-5-(4-nitrobenzyloxycarbonyl)-2,5-diazabicyclo[2.2.1]heptan-2-yl]carbonylpyrrolidine (prepn. given) was treated with NaOMe in MeOH-THF and the product was reacted with (1R,5R,6S)-6-[(R)-1-hydroxyethyl]-1-methyl-2-(diphenylphosphoryloxy)carbapenem-3-carboxylic acid 4-nitrobenzyl ester in MeCN contg. diisopropylethylamine to give (1R,5S,6S)-6-(R)-1-hydroxyethyl-1-methyl-2-[[(2S,4S)-1-(4-nitrobenzyloxycarbonyl)-2-[[(2S,4S)-5-(4-nitrobenzyloxycarbonyl)-2,5-diazabicyclo[2.2.1]heptan-2-yl]carbonyl]pyrrolidin-4-yl]thio]carbapenem-3-carboxylic acid 4-nitrobenzyl ester. Pharmaceutical compns. contg. I are described.

IT **165893-60-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antibacterial 1-methylcarbapenem derivs.)

RN 165893-60-7 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[[1-[[(4-nitrophenyl)methoxy]carbonyl]-1,2,3,4-tetrahydro-5H-

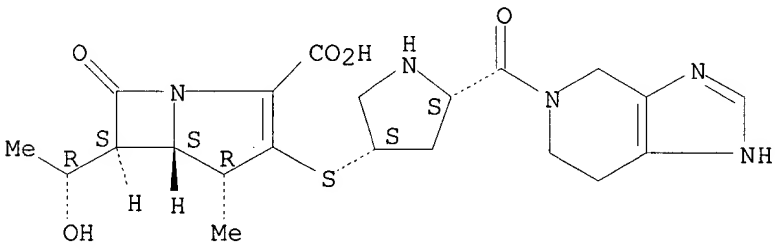
imidazo[4,5-c]pyridin-5-yl)carbonyl]-3-pyrrolidinyl]thio]-7-oxo-,
(4-nitrophenyl)methyl ester,
[4R-[3(3S*,5S*),4.alpha.,5.beta.,6.beta.(R*)]
]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **165893-88-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antibacterial 1-methylcarbapenem derivs.)
RN 165893-88-9 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[[5-[(1,4,6,7-tetrahydro-5H-imidazo[4,5-c]pyridin-5-yl)carbonyl]-3-pyrrolidinyl]thio]-, monohydrochloride,
[4R-[3(3S*,5S*),4.alpha.,5.beta.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

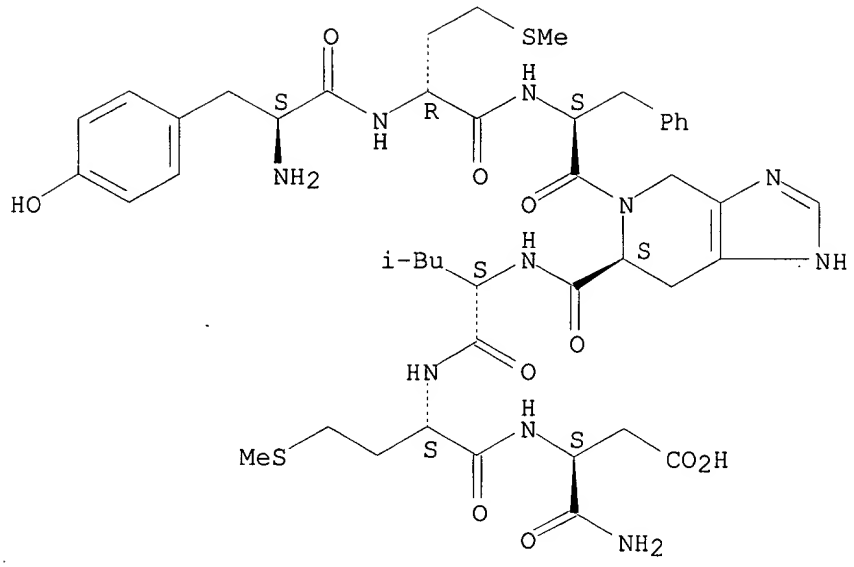


● HCl

=> d bib abs hitstr 16

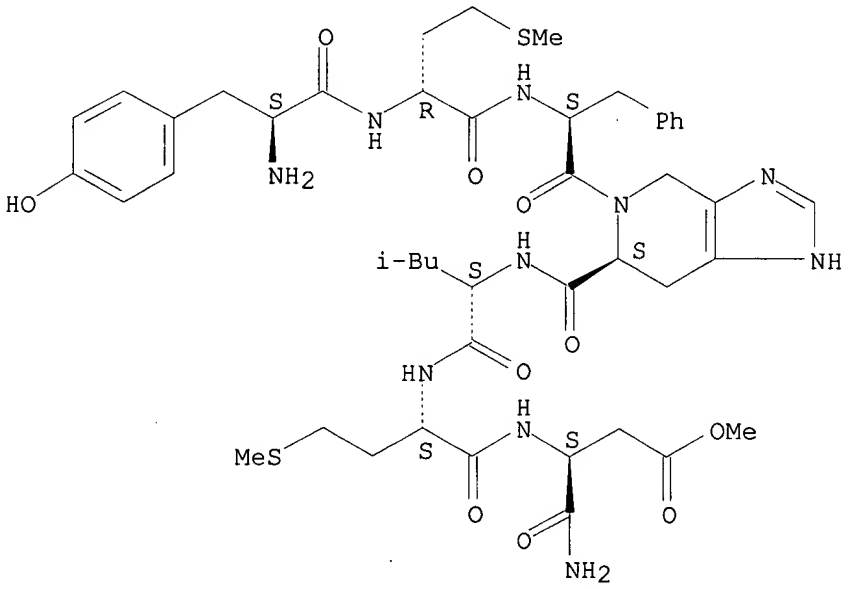
L19 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1995:409660 CAPLUS
DN 123:9907
TI Prerequisite for His4 in deltorphin A for high .delta. opioid receptor selectivity
AU Salvadori, S.; Guerrini, R.; Forlani, V.; Bryant, S. D.; Attila, M.; Lazarus, L. H.
CS Dept. Pharm. Sci., Univ. Ferrara, Ferrara, Italy
SO Amino Acids (1994), 7(3), 291-304
CODEN: AACIE6; ISSN: 0939-4451
DT Journal
LA English
AB Anal. of deltorphin A position 4 analogs included: backbone constrained MeHis, spinacine (Spi), MePhe, and tetrahydroisoquinoline-3-carboxylic acid (Tic); spatially confined side-chain phenylglycine (Phg); and imidazole alkylation of L- and D-His4 enantiomers. High .delta. selectivity was lost with the following replacements; MeHis4, MePhe4 and Phg4 reduced .delta. binding and the constrained residues also increased .mu. binding; ring closure between the side-chain and amino group to yield Spi4 or Tic4 increased .mu. affinity. Imidazole methylation of His4 marginally affected opioid binding and doubled .delta. selectivity; alkylated D-His4 derivs. generally maintained .delta. selectivity in spite of decreased .delta. binding and by repulsion at the .mu. receptor. Several low energy conformers of deltorphin A indicated that the His4 imidazole preferred a spatial orientation parallel to the phenolic side-chain of Tyr1 suggestive that this conformation might contribute to high .delta. affinity and selectivity.
IT **163679-46-7P 163679-47-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(.delta. opioid receptor selectivity of deltorphin A position 4 analogs)
RN 163679-46-7 CAPLUS
CN Deltorphin A, 4-(L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163679-47-8 CAPLUS
CN Deltorphin A, 4-(L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid)-, methyl ester (9CI) (CA INDEX NAME)

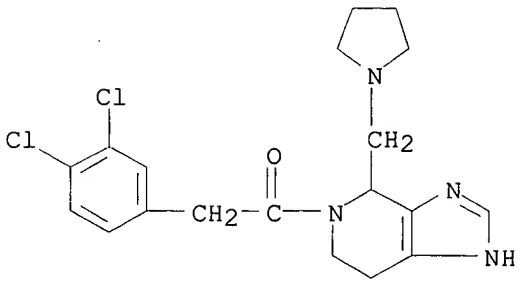
Absolute stereochemistry.



=> d bib abs hitstr 17

L49 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1995:140935 CAPLUS
DN 122:887
TI Comparative effects of selective .kappa.-opioid receptor agonists on dopamine levels in the dorsal caudate of freely moving rats
AU Zaratin, Paola; Clarke, Geoffrey D.
CS Department of Biology, Smith Kline Beecham Farmaceutici, Via Zambeletti, 20021 Baranzate, Milan, Italy
SO Eur. J. Pharmacol. (1994), 264(2), 151-6
CODEN: EJPHAZ; ISSN: 0014-2999
DT Journal
LA English
AB Microdialysis was utilized to evaluate the effects of selective .kappa.-opioid receptor agonists on dopamine levels in the dorsal caudate of conscious rats. S.c. administration of equiv. antinociceptive doses of spiradoline - (.+-.)-(5.alpha.,7.alpha.,8.beta.)-3,4-dichloro-N-methyl-N-[7-(1-pyrrolidinyl)-1-ox aspiro[4,5]dec-8-yl]benzeneacetamide - (U62066; 12 mg/kg), BRL 52656 - (2S)-1-[(4-trifluoromethylphenyl)acetyl]-2-[(1-pyrrolidinyl)methyl]piperi dine - (2 mg/kg) and enadoline - (-)-(5.beta.,7.beta.,8.alpha.)-N-methyl-N-[7-(1-pyrrolidinyl)-1-oxaspiro[4,5]dec- 8-yl]benzo[b]furan-4-acetamide - (CI-977; 0.1 mg/kg) produced similar, statistically significant decreases in dorsal caudate dopamine levels; BRL 53001 - (2S)-2-(dimethylaminomethyl)-1-[(5,6,7,8-tetrahydro-5-oxo-2-naphthyl)acety l]piperidine - (12 mg/kg) was, however, without effect. At a higher dose (36 mg/kg), BRL 53001 also caused a significant redn. in dopamine levels. BRL 52974 - 4-(1-pyrrolidinylmethyl)5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydroim idazo[4,5-c]pyridine, a selective .kappa.-opioid receptor agonist with limited ability to cross the blood brain barrier or produce antinociceptive effects, had no effect on dopamine levels at 10 mg/kg s.c. Overall, these findings suggest that selective .kappa.-opioid receptor agonists decrease dopamine levels in the dorsal caudate of rats via a central locus of action. Furthermore, compared to other .kappa.-opioid receptor agonists, BRL 53001 appears to have a reduced propensity to decrease dopamine levels at equianalgesic doses.
IT **145544-79-2**, BRL 52974
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(.kappa.-opioid receptor agonist effect on dopamine levels in dorsal caudate)
RN 145544-79-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

same reference 2

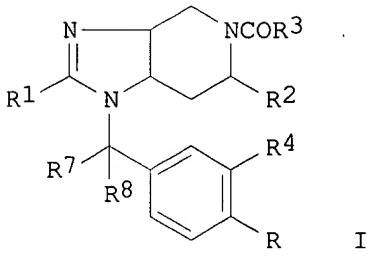


=> d bib abs hitstr 18

L49 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1995:6850 CAPLUS
DN 122:56042
TI 4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid amide derivatives as angiotensin II antagonists
IN Enari, Hiroyuki; Yanaka, Mikiro
PA Kureha Kagaku Kogyo K. K., Japan
SO Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 589665	A2	19940330	EP 1993-307445	19930921
	EP 589665	A3	19940622		
	EP 589665	B1	19991117		
	R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL				
	CA 2106323	AA	19940323	CA 1993-2106323	19930916
	US 5401736	A	19950328	US 1993-121493	19930916
	AT 186726	E	19991215	AT 1993-307445	19930921
	JP 06211853	A2	19940802	JP 1993-257466	19930922
PRAI	JP 1992-276688	19920922			

OS MARPAT 122:56042
GI

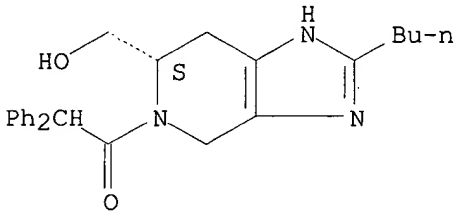


I

AB The title compds. [I; R = amino, carboxy, (1H-tetrazol-5-yl)phenyl, carboxyphenyl, carboxybenzamido, (1H-tetrazol-5-yl)benzamido, carboxyphenylcarbamoyl, (1H-tetrazol-5-yl)-phenylcarbamoyl; R1 = hydrogen, halogen, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, etc; R2 = carbamoyl, mono- or di-C1-C6 alkylcarbamoyl, 4- to 6-membered heterocyclic carbamoyl; R3 = CH2Ph, -CH(Ph)2, -CH(Ph)CH3, -CH(Ph)(C6H11), -CH2CH2Ph, etc.; R4, R7, R8 = hydrogen, C1-C6 alkyl], are prepd. and are demonstrated to have angiotensin II receptor antagonist activity.
IT **159933-00-3P 159933-02-5P 159933-03-6P 159933-04-7P 159933-05-8P 159933-83-2P 159933-84-3P 159933-85-4P 159933-86-5P**

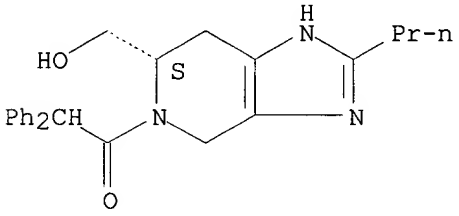
159933-87-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of tetrahydroimidazopyridinecabarbox
ylic acid amide deriv. angiotensin II receptor antagonists)
RN 159933-00-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 2-butyl-5-(diphenylacetyl)-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



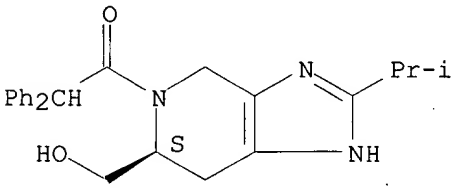
RN 159933-02-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-propyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

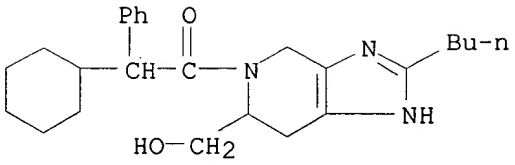


RN 159933-03-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-(1-methylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

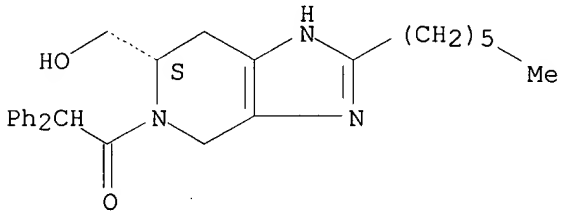


RN 159933-04-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 2-butyl-5-(cyclohexylphenylacetyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



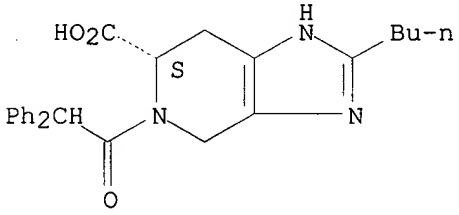
RN 159933-05-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-methanol, 5-(diphenylacetyl)-2-hexyl-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



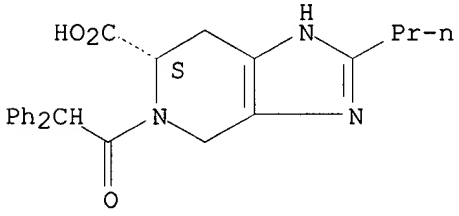
RN 159933-83-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 2-butyl-5-(diphenylacetyl)-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159933-84-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-propyl-, (S)- (9CI) (CA INDEX NAME)

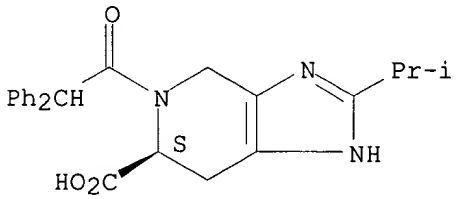
Absolute stereochemistry.



RN 159933-85-4 CAPLUS

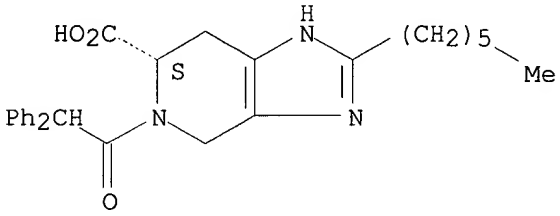
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(diphenylacetyl)-4,5,6,7-tetrahydro-2-(1-methylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

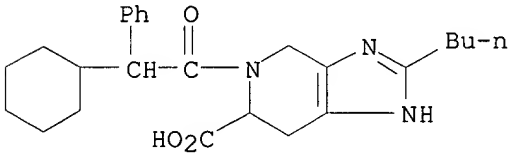


RN 159933-86-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-(diphenylacetyl)-2-hexyl-4,5,6,7-tetrahydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

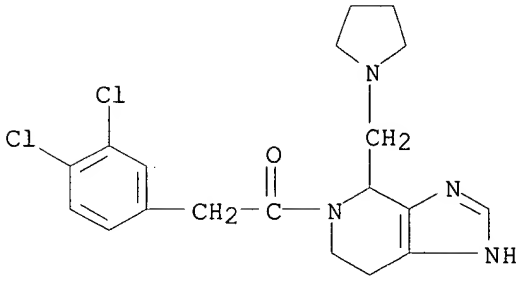


RN 159933-87-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 2-butyl-5-(cyclohexylphenylacetyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 19

L49 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1994:525820 CAPLUS
DN 121:125820
TI Contribution of Alpha-2 adrenoceptors to Kappa opioid agonist-induced water diuresis in the rat
AU Wang, Yi-Xin; Clarke, Geoffrey D.; Sbacchi, Massimo; Petrone, Giuseppe; Brooks, David P.
CS Dep. Renal Pharmacology, SmithKline Beecham Pharmaceuticals, King Prussia, PA, USA
SO J. Pharmacol. Exp. Ther. (1994), 270(1), 244-9
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Clearance studies in rats using .kappa. opioid agonists have demonstrated that agonists that can cross the blood-brain barrier are more potent water diuretics than agonists which have limited access to the brain. The mechanism of .kappa. agonist-induced water diuresis is unclear but may involve inhibition of vasopressin secretion and/or an adrenomedullary factor. In the present study the effect of an .alpha.-2 adrenoceptor antagonist (yohimbine, 10 .mu.g/kg. min i.v.) on .kappa. agonist-induced water diuresis was evaluated in conscious chronically instrumented rats. BRL 53117 {1-[(3,4-dichlorophenyl)acetyl]-2-[(3-hydroxy-1-pyrrolidinyl)methyl]4,4-dimethyl piperidine}, a .kappa. agonist that can cross the blood-brain barrier, caused a dose-dependent (1-100 .mu.g/kg) water diuresis which was attenuated by Yohimbine. The ED to cause a free water clearance of zero for BRL 53117 was 13 .mu.g/kg in vehicle-treated rats and 37 .mu.g/kg in yohimbine-treated rats. BRL 52974 {5-[(3,4-dichlorophenyl)acetyl]4-(1-pyrrolidinylmethyl)-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine}, a compd. with limited ability to cross the blood-brain barrier, also caused a dose-dependent water diuresis, albeit at higher doses (30-3000 .mu.g/kg), and thus a higher ED to cause a free water clearance of zero (129 .mu.g/kg); however, the effect was abolished by yohimbine. The data suggest that kappa agonists cause a water diuresis by both a central mechanism involving inhibition of vasopressin secretion and a peripheral mechanism involving stimulation of renal .alpha.-2 receptors.
IT **145544-79-2**, BRL 52974
RL: BIOL (Biological study)
(diuresis induction by, vasopressin secretion inhibition and kidney .alpha.-2 adrenoceptors in mechanism for)
RN 145544-79-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



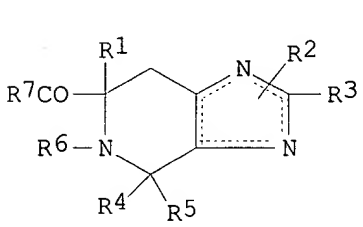
same as 17

=> d bib abs hitstr 20

L49 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1994:270386 CAPLUS
DN 120:270386
TI 4,5,6,7-Tetrahydroimidazo[4,5-c]pyridine-6-carboxylic acid derivative antiemetics
IN Huang, Bao Shan; Feng, Danging D.; Gall, Martin; Evans, Suzanne M.; Paradkar, Vidyadhar M.; Nair, Raghunathan V.; Latham, Tamara B.
PA Anaquest, Inc., USA
SO U.S., 14 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5262537	A	19931116	US 1993-33522	19930319

OS MARPAT 120:270386
GI



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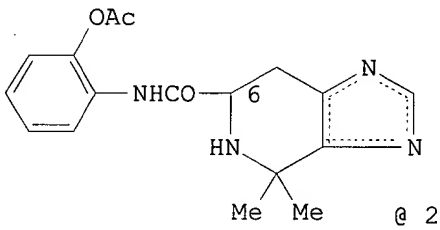


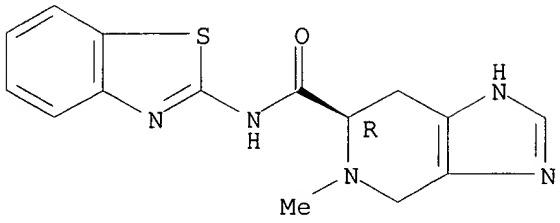
@ 2HCl II

AB The title compds. [I; R1, R2 = H, lower alkyl; R3 = H, lower alkyl, NO2NH2CN, alkylmercapto; R4 R5 = H, (un)substituted lower alkyl, aryl; R6 = H, (un)substituted lower alkyl, CHO, arylcarbonyl, etc.; R7 = Ph, thienyl, indolyl, indazolyl, benzo[b]furanyl, benzo[b]thiophenyl, etc.; R4R5 may form a 5- or 6- member satd. hydrocarbon ring], which are selective antagonists of the serotonin 5-HT3 receptor with little of no D2
receptor antagonist activity, useful as antiemetics for treating nausea and vomiting, are prepd. Thus, a title compd., II (having an S configuration at the 6th position) was prepd. in 22% yield (m.p. 210.degree.) and demonstrated 100% inhibition. of cisplatin-induced ferret
vomiting at a 0.1 mg/kg, vs. 17% for metaclopramide. II also demonstrated
50% inhibitory concn. in rat brain-derived serotonin 5-HT3 receptors of 158.21 nM, vs. 514.00 nM for metaclopramide.

IT **154056-46-9 154056-57-2 154056-68-5**
RL: RCT (Reactant)
(antiemetic activity)

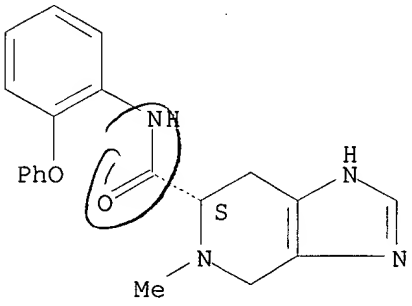
RN 154056-46-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



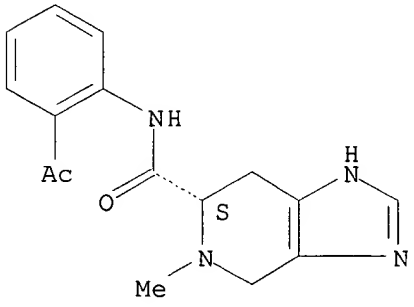
RN 154056-57-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 154056-68-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **154055-85-3P 154055-86-4P 154055-87-5P**
154055-88-6P 154055-89-7P 154055-90-0P
154055-91-1P 154055-93-3P 154055-94-4P
154055-95-5P 154055-96-6P 154055-97-7P
154055-99-9P 154056-00-5P 154056-01-6P

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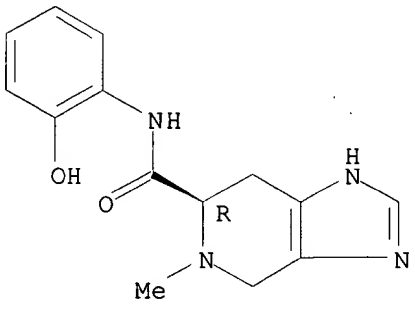
154056-02-7P 154056-04-9P 154056-05-0P
154056-06-1P 154056-07-2P 154056-08-3P
154056-09-4P 154056-10-7P 154056-11-8P
154056-12-9P 154056-13-0P 154056-14-1P
154056-15-2P 154056-16-3P 154056-17-4P
154056-18-5P 154056-19-6P 154056-20-9P
154056-21-0P 154056-22-1P 154056-23-2P
154056-24-3P 154056-25-4P 154056-26-5P
154056-27-6P 154056-28-7P 154056-29-8P
154056-30-1P 154056-31-2P 154056-34-5P
154056-35-6P 154056-36-7P 154056-37-8P
154056-38-9P 154056-39-0P 154056-40-3P
154056-42-5P 154056-43-6P 154056-44-7P
154056-45-8P 154056-46-9P 154056-48-1P
154056-49-2P 154056-50-5P 154056-51-6P
154056-52-7P 154056-53-8P 154056-54-9P
154056-55-0P 154056-56-1P 154056-57-2P
154056-58-3P 154056-59-4P 154056-60-7P
154056-61-8P 154056-62-9P 154056-63-0P
154056-64-1P 154056-65-2P 154056-66-3P
154056-67-4P 154056-68-5P 154056-69-6P
154056-70-9P 154056-71-0P 154056-72-1P
154056-73-2P 154056-74-3P 154056-75-4P
154056-82-3P 154056-83-4P 154056-84-5P
154056-85-6P 154056-86-7P 154056-87-8P
154056-88-9P 154056-89-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiemetic activity)

RN 154055-85-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

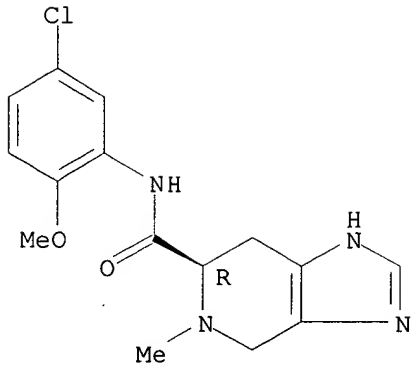
Absolute stereochemistry.



● 2 HCl

RN 154055-86-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

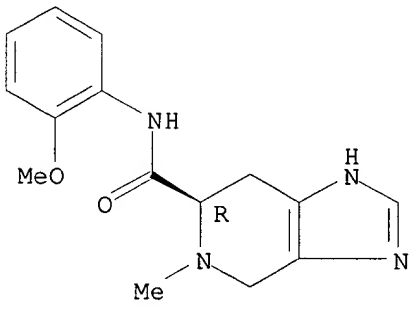
Absolute stereochemistry.



● 2 HCl

RN 154055-87-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

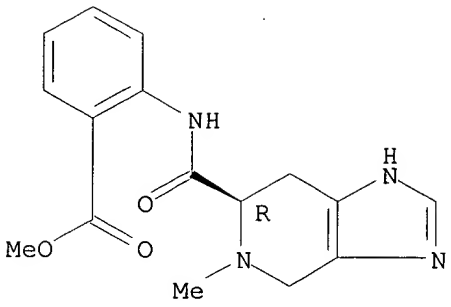
Absolute stereochemistry.



● 2 HCl

RN 154055-88-6 CAPLUS
CN Benzoic acid, 2-[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

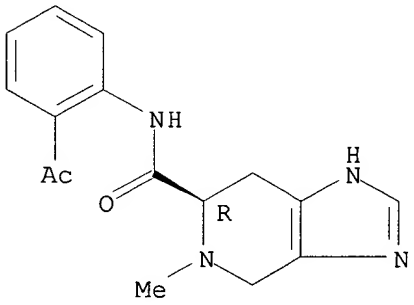
Absolute stereochemistry.



● 2 HCl

RN 154055-89-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

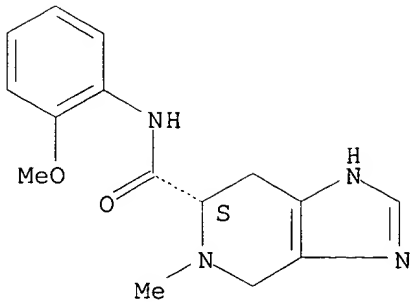
Absolute stereochemistry.



● 2 HCl

RN 154055-90-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

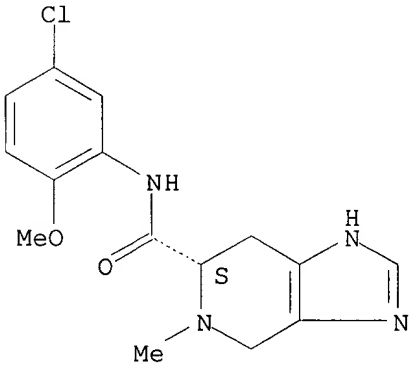
Absolute stereochemistry.



● 2 HCl

RN 154055-91-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

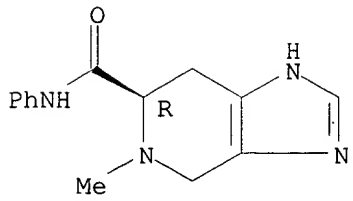
Absolute stereochemistry.



● 2 HCl

RN 154055-93-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-phenyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

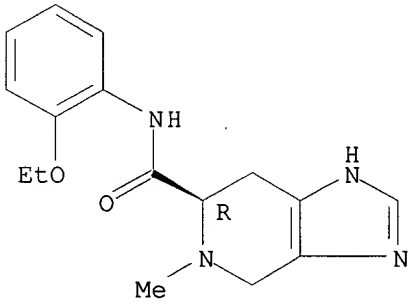
Absolute stereochemistry.



● 2 HCl

RN 154055-94-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

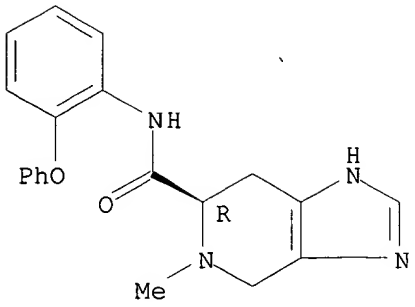
Absolute stereochemistry.



● 2 HCl

RN 154055-95-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

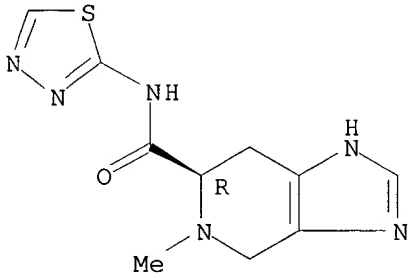
Absolute stereochemistry.



● 2 HCl

RN 154055-96-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-1,3,4-thiadiazol-2-yl-, trihydrochloride, (R)- (9CI) (CA INDEX NAME)

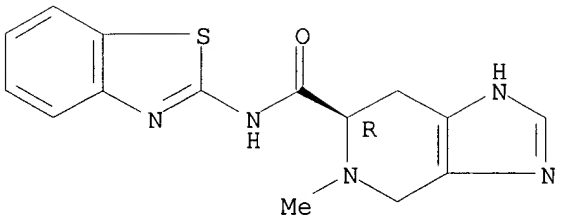
Absolute stereochemistry.



● 3 HCl

RN 154055-97-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

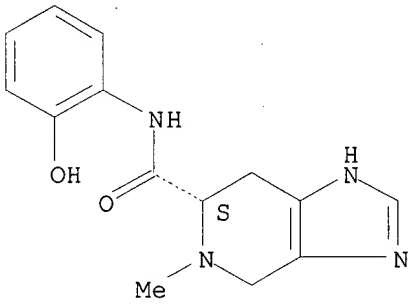
Absolute stereochemistry.



● 2 HCl

RN 154055-99-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

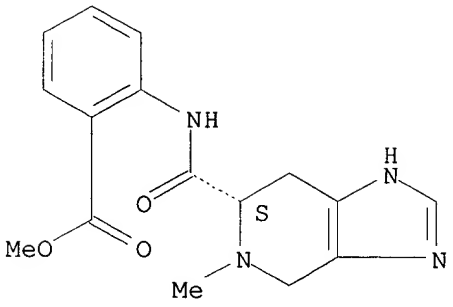
Absolute stereochemistry.



● 2 HCl

RN 154056-00-5 CAPLUS
CN Benzoic acid,
2-[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

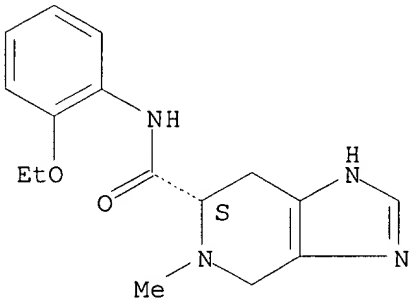
Absolute stereochemistry.



● 2 HCl

RN 154056-01-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

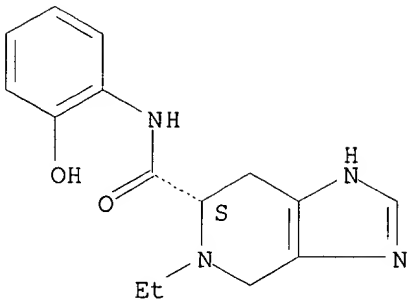
Absolute stereochemistry.



● 2 HCl

RN 154056-02-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-ethyl-4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



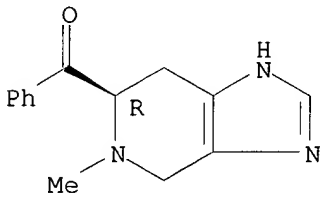
● 2 HCl

RN 154056-04-9 CAPLUS
CN Methanone, phenyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (R)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

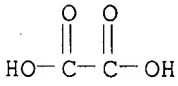
CRN 154056-03-8
CMF C14 H15 N3 O
CDES 1:R

Absolute stereochemistry.



CM 2

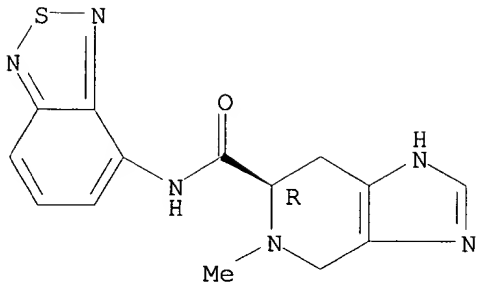
CRN 144-62-7
CMF C2 H2 O4



RN 154056-05-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

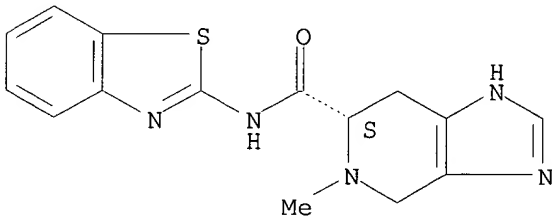
Searched by John Dantzman 703-308-4488



● 2 HCl

RN 154056-06-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

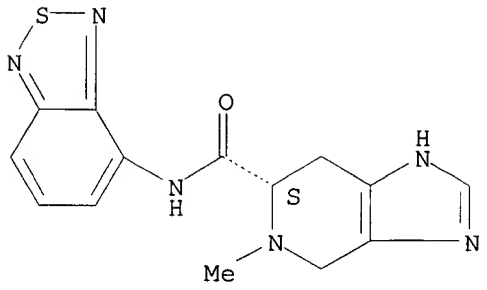
Absolute stereochemistry.



● 2 HCl

RN 154056-07-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

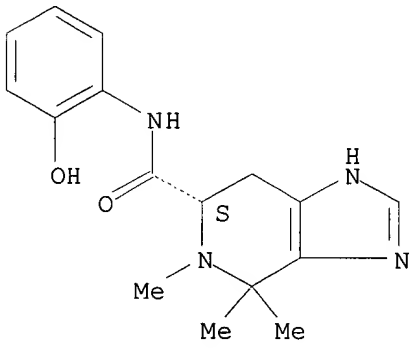
Absolute stereochemistry.



● 2 HCl

RN 154056-08-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-4,4,5-trimethyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

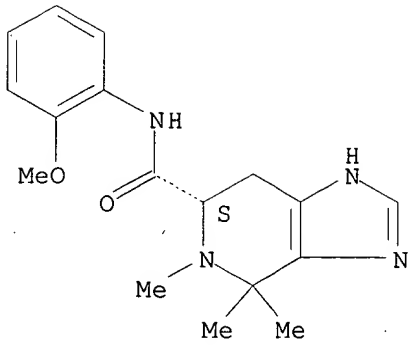
Absolute stereochemistry.



● 2 HCl

RN 154056-09-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-4,4,5-trimethyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

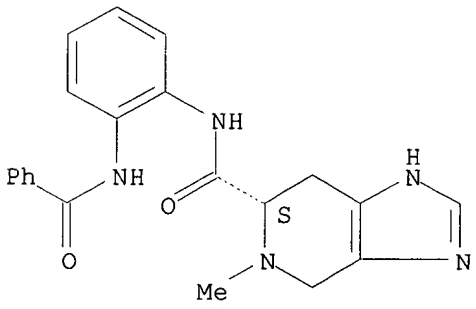
Absolute stereochemistry.



● 2 HCl

RN 154056-10-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(benzoylamino)phenyl]-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

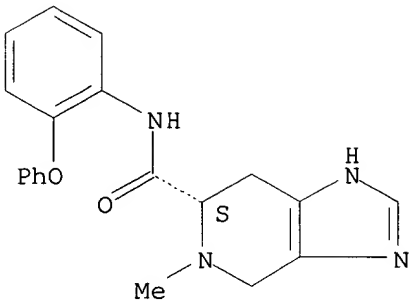
Absolute stereochemistry.



● 2 HCl

RN 154056-11-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

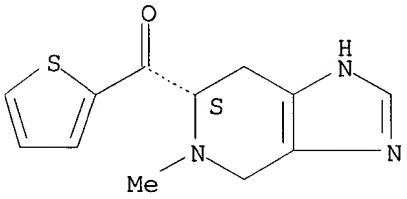
Absolute stereochemistry.



● 2 HCl

RN 154056-12-9 CAPLUS
CN Methanone, (4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-2-thienyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

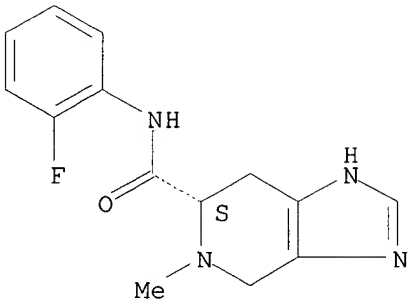
Absolute stereochemistry.



● 2 HCl

RN 154056-13-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-fluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

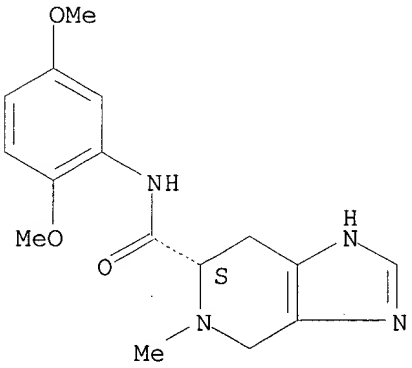
Absolute stereochemistry.



● 2 HCl

RN 154056-14-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dimethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

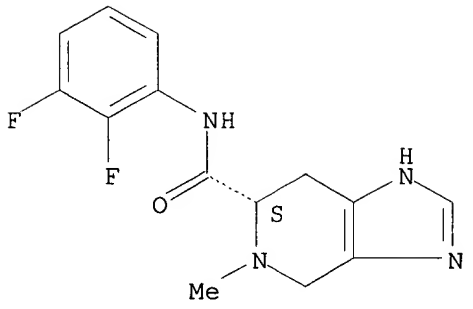
Absolute stereochemistry.



● 2 HCl

RN 154056-15-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,3-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

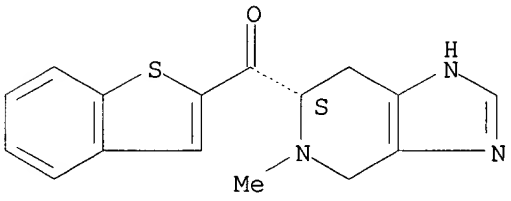
Absolute stereochemistry.



● 2 HCl

RN 154056-16-3 CAPLUS
CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

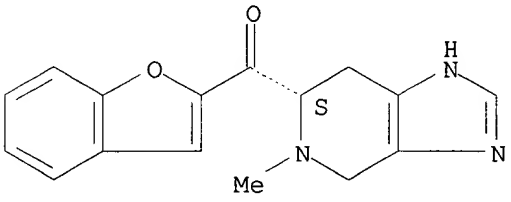
Absolute stereochemistry.



● 2 HCl

RN 154056-17-4 CAPLUS
CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

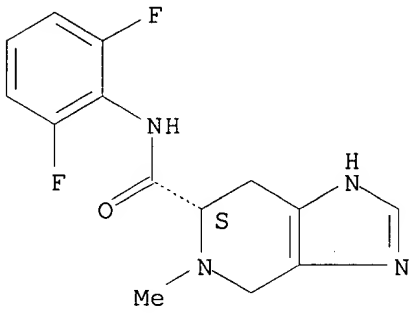


● 2 HCl

RN 154056-18-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,6-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

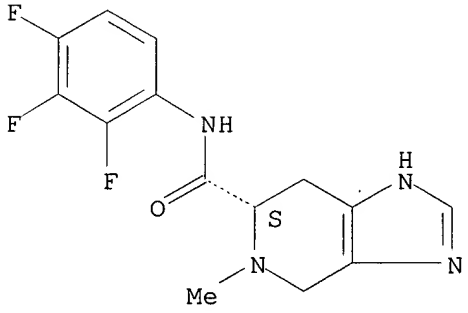
Absolute stereochemistry.



● 2 HCl

RN 154056-19-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2,3,4-trifluorophenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

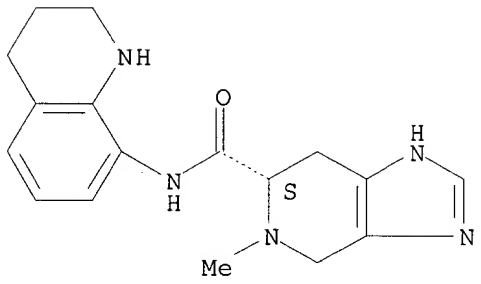
Absolute stereochemistry.



● 2 HCl

RN 154056-20-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(1,2,3,4-tetrahydro-8-quinolinyl)-, trihydrochloride, (S)- (9CI) (CA INDEX NAME)

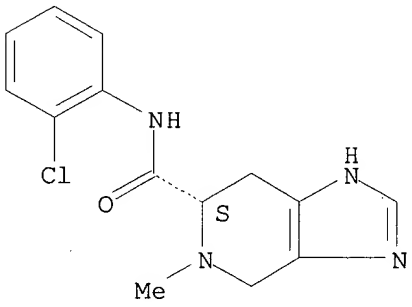
Absolute stereochemistry.



● 3 HCl

RN 154056-21-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

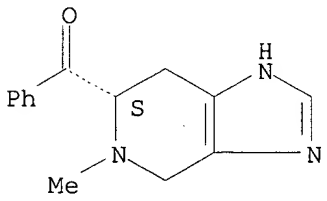
Absolute stereochemistry.



● 2 HCl

RN 154056-22-1 CAPLUS
CN Methanone, phenyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



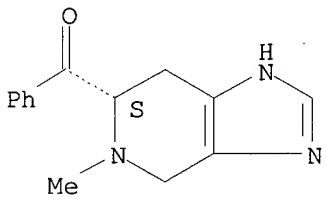
RN 154056-23-2 CAPLUS
CN Methanone, phenyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-

yl)-, (S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

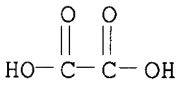
CRN 154056-22-1
CMF C14 H15 N3 O
CDES 1:S

Absolute stereochemistry.



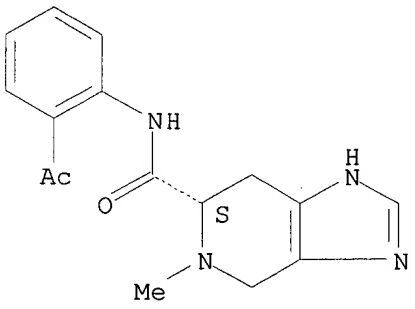
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 154056-24-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

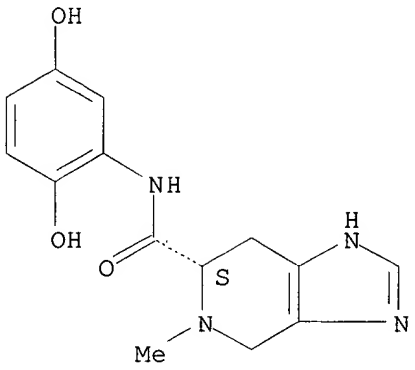
Absolute stereochemistry.



● 2 HCl

RN 154056-25-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dihydroxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, dihydrobromide, (S)- (9CI) (CA INDEX NAME)

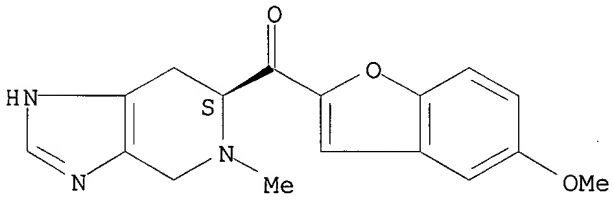
Absolute stereochemistry.



● 2 HBr

RN 154056-26-5 CAPLUS
CN Methanone, (5-methoxy-2-benzofuranyl)(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

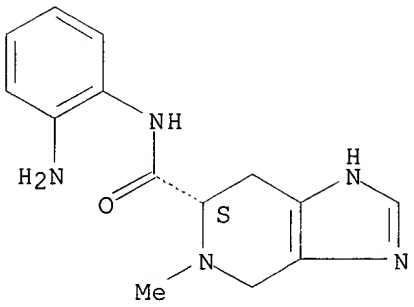
Absolute stereochemistry.



● 2 HCl

RN 154056-27-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-aminophenyl)-4,5,6,7-tetrahydro-5-methyl-, trihydrochloride, (S)- (9CI) (CA INDEX NAME)

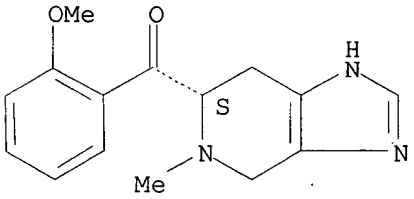
Absolute stereochemistry.



● 3 HCl

RN 154056-28-7 CAPLUS
CN Methanone, (2-methoxyphenyl)(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

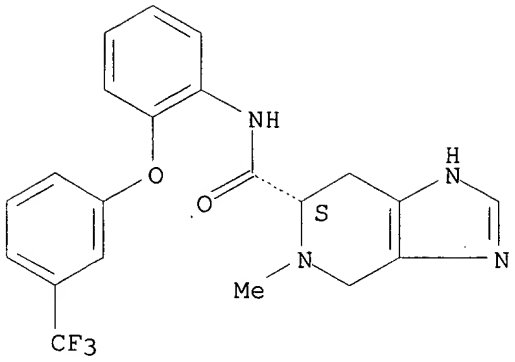
Absolute stereochemistry.



● 2 HCl

RN 154056-29-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-[2-[3-(trifluoromethyl)phenoxy]phenyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

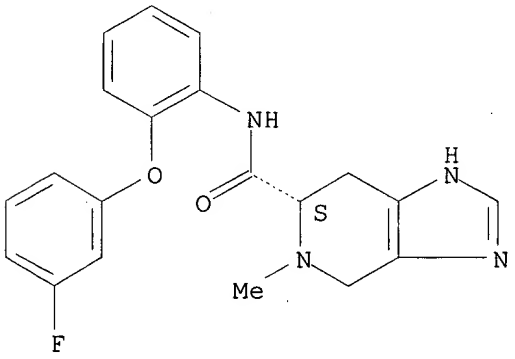
Absolute stereochemistry.



● 2 HCl

RN 154056-30-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(3-fluorophenoxy)phenyl]-4,5,6,7-tetrahydro-5-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

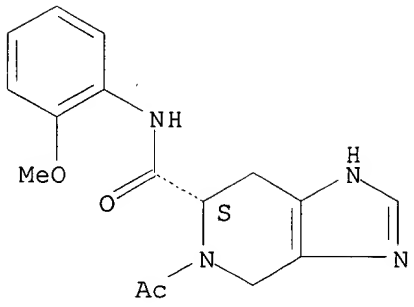
Absolute stereochemistry.



● 2 HCl

RN 154056-31-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-acetyl-4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

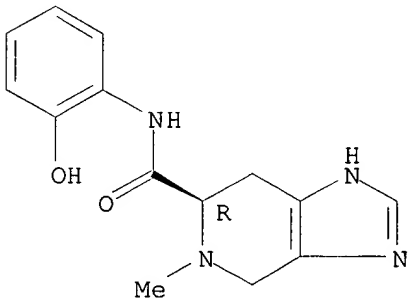
Absolute stereochemistry.



● 2 HCl

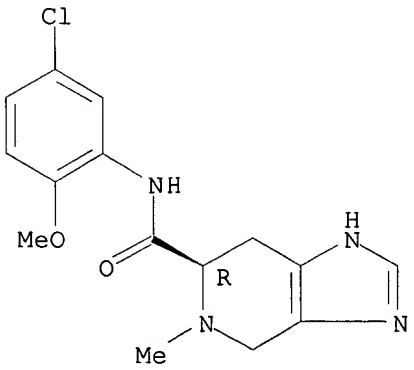
RN 154056-34-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



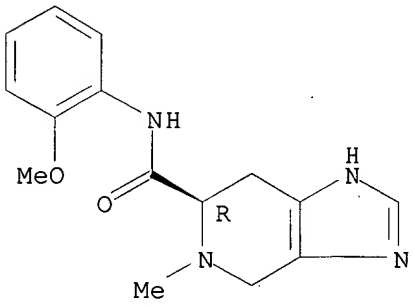
RN 154056-35-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



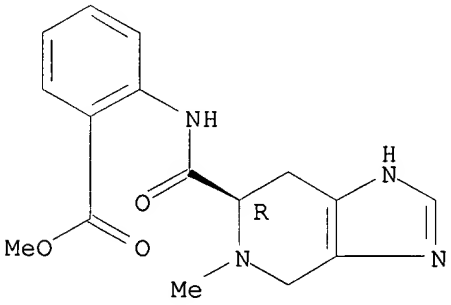
RN 154056-36-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



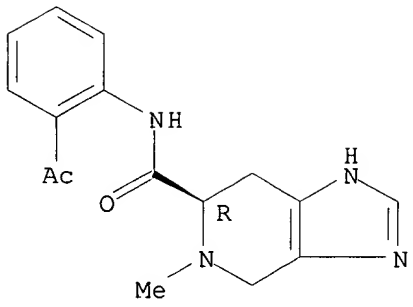
RN 154056-37-8 CAPLUS
CN Benzoic acid,
2-[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



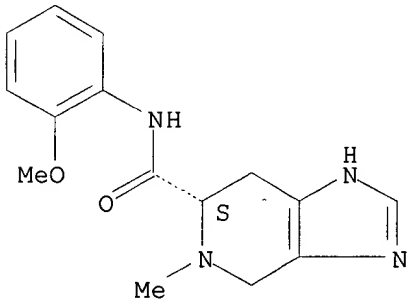
RN 154056-38-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



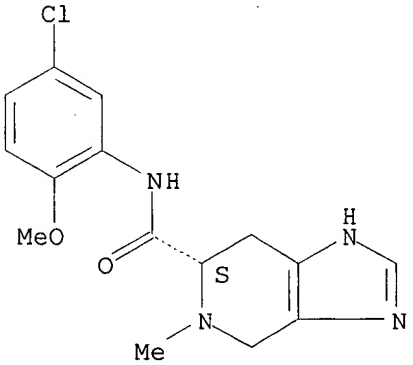
RN 154056-39-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



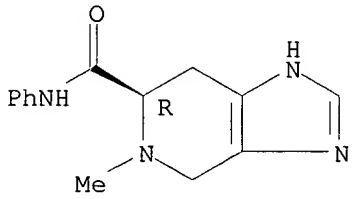
RN 154056-40-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(5-chloro-2-methoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



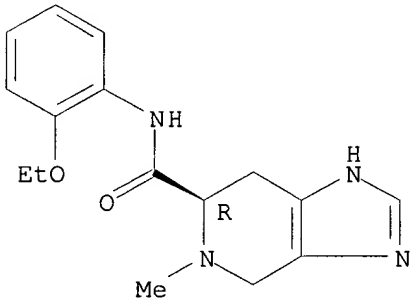
RN 154056-42-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



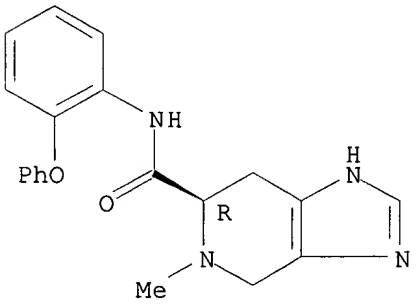
RN 154056-43-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



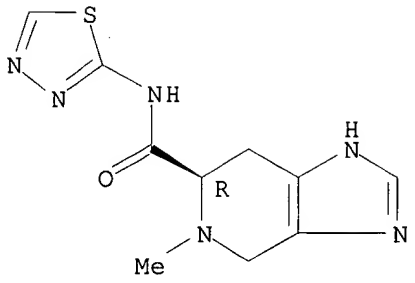
RN 154056-44-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



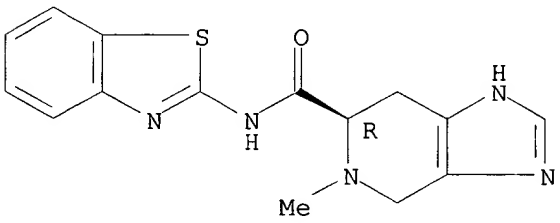
RN 154056-45-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-1,3,4-thiadiazol-2-yl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



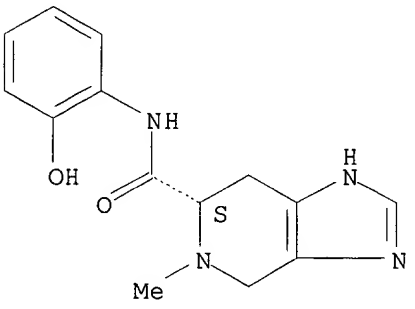
RN 154056-46-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



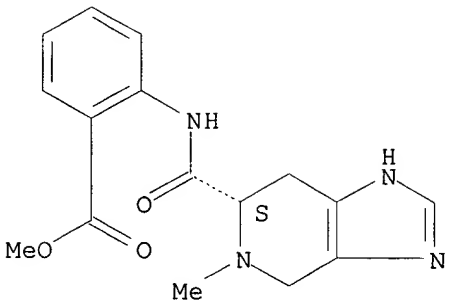
RN 154056-48-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



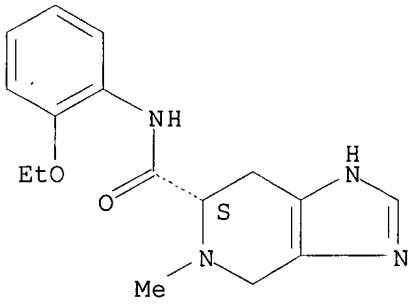
RN 154056-49-2 CAPLUS
CN Benzoic acid, 2-[[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



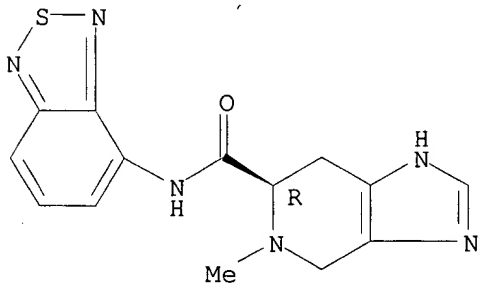
RN 154056-50-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-ethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



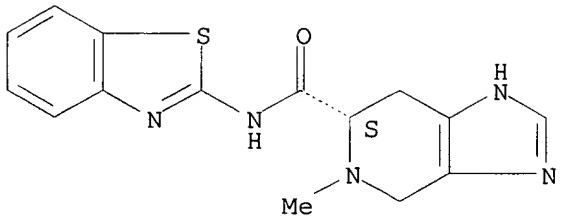
RN 154056-51-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



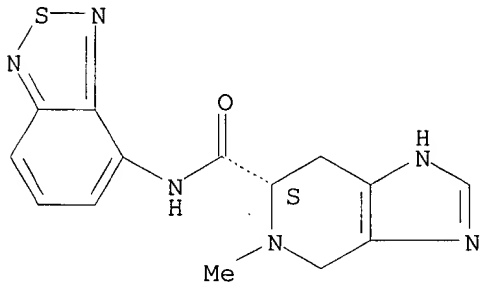
RN 154056-52-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2-benzothiazolyl-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



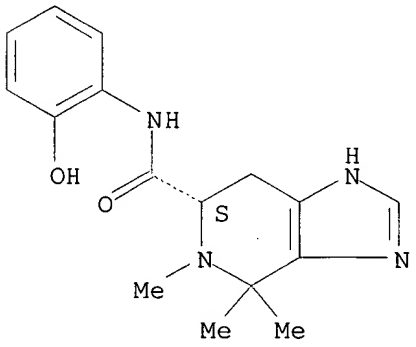
RN 154056-53-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-2,1,3-benzothiadiazol-4-yl-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



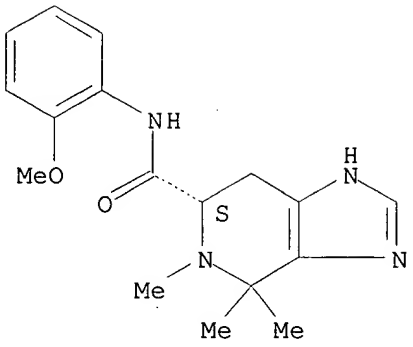
RN 154056-54-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-hydroxyphenyl)-4,4,5-trimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



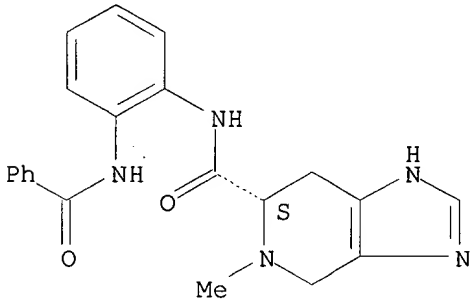
RN 154056-55-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-4,4,5-trimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



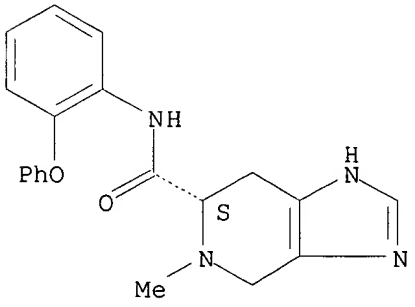
RN 154056-56-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(benzoylamino)phenyl]-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



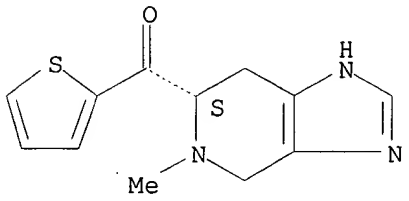
RN 154056-57-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2-phenoxyphenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



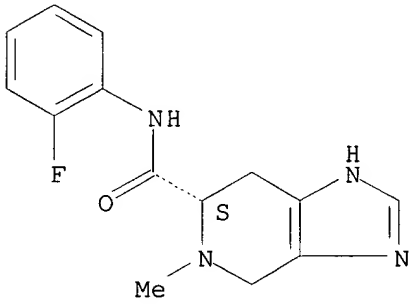
RN 154056-58-3 CAPLUS
CN Methanone, (4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-2-thienyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



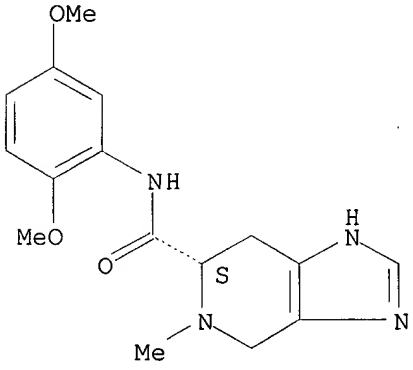
RN 154056-59-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-fluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



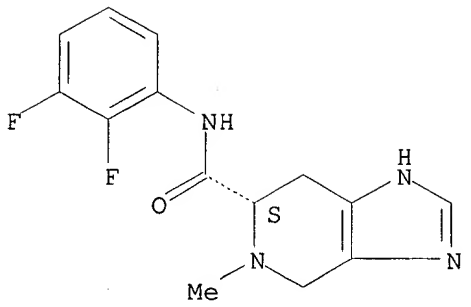
RN 154056-60-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dimethoxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



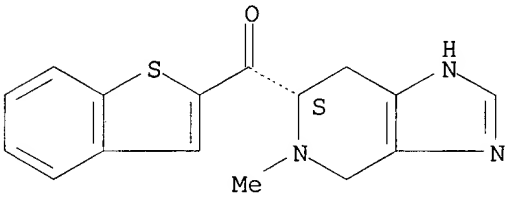
RN 154056-61-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,3-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



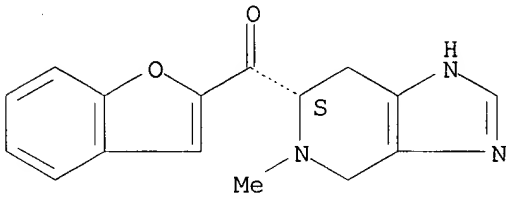
RN 154056-62-9 CAPLUS
CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



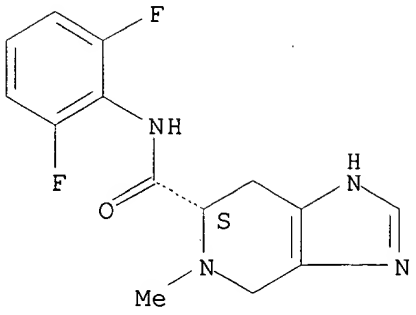
RN 154056-63-0 CAPLUS
CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



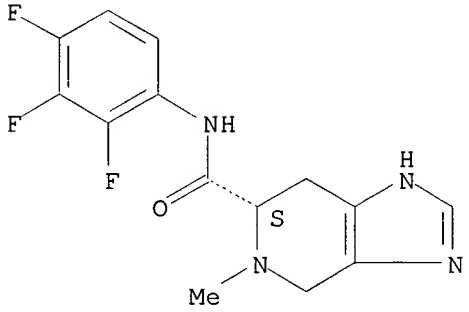
RN 154056-64-1 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,6-difluorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



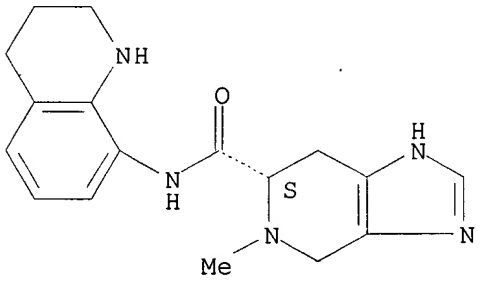
RN 154056-65-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(2,3,4-trifluorophenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



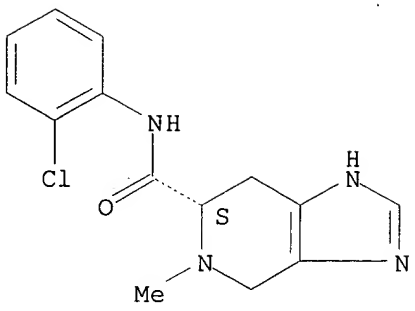
RN 154056-66-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-(1,2,3,4-tetrahydro-8-quinolinyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



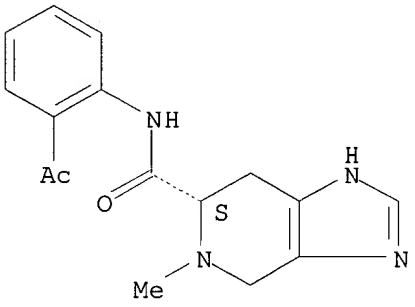
RN 154056-67-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



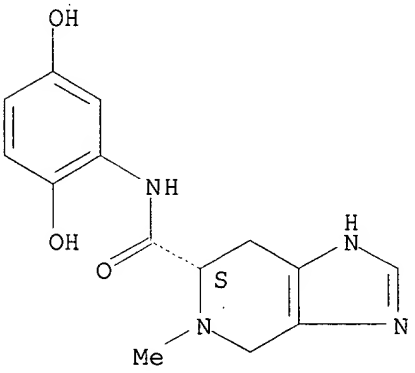
RN 154056-68-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-acetylphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



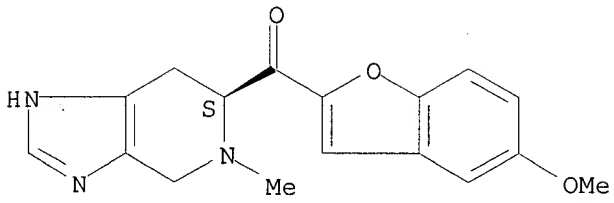
RN 154056-69-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2,5-dihydroxyphenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



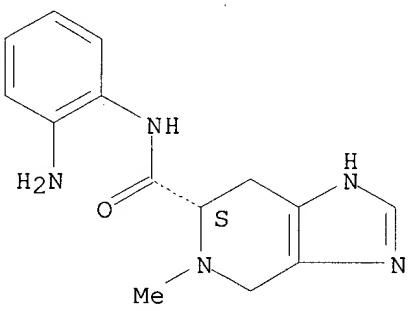
RN 154056-70-9 CAPLUS
CN Methanone, (5-methoxy-2-benzofuranyl)(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



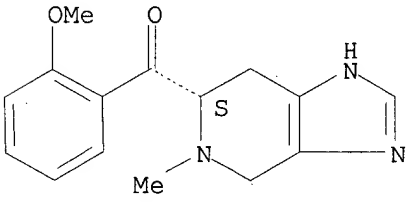
RN 154056-71-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-(2-aminophenyl)-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



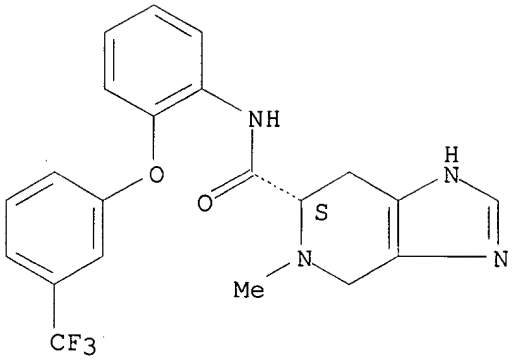
RN 154056-72-1 CAPLUS
CN Methanone, (2-methoxyphenyl)(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



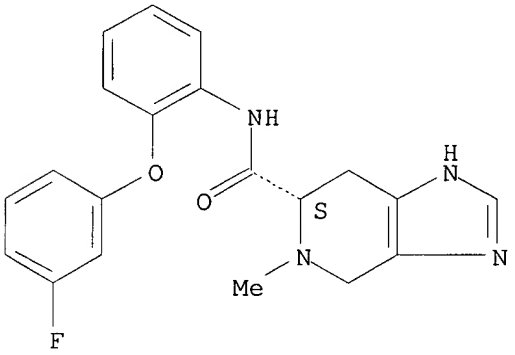
RN 154056-73-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-5-methyl-N-[2-[3-(trifluoromethyl)phenoxy]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



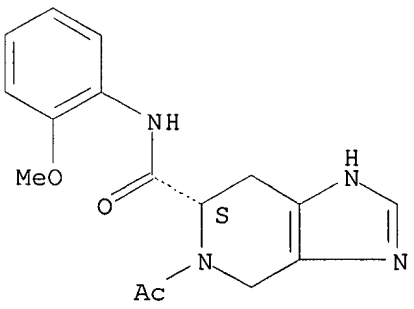
RN 154056-74-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, N-[2-(3-fluorophenoxy)phenyl]-4,5,6,7-tetrahydro-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



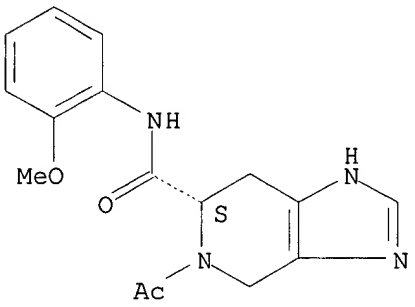
RN 154056-75-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 5-acetyl-4,5,6,7-tetrahydro-N-(2-methoxyphenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 154056-82-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide,
5-acetyl-4,5,6,7-tetrahydro-N-(2-
methoxyphenyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

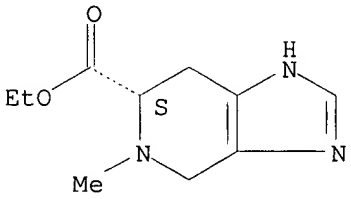
Absolute stereochemistry.



● HCl

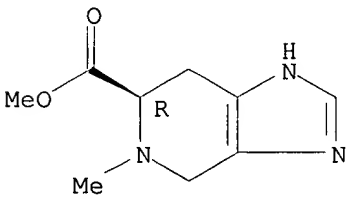
RN 154056-83-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
4,5,6,7-tetrahydro-5-methyl-,
ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



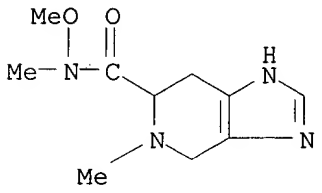
RN 154056-84-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
4,5,6,7-tetrahydro-5-methyl-,
methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

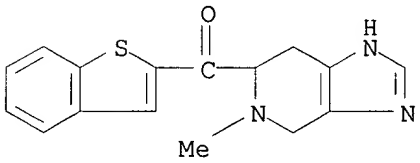


RN 154056-85-6 CAPLUS

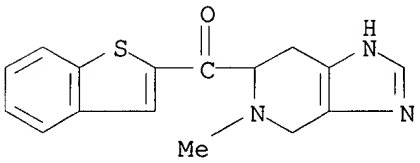
CN 1H-Imidazo[4,5-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-methoxy-N,5-dimethyl- (9CI) (CA INDEX NAME)



RN 154056-86-7 CAPLUS
CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)- (9CI) (CA INDEX NAME)

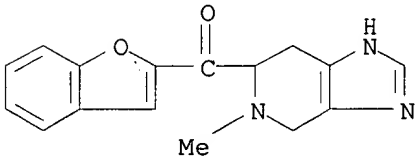


RN 154056-87-8 CAPLUS
CN Methanone, benzo[b]thien-2-yl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

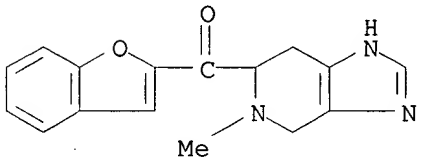


● 2 HCl

RN 154056-88-9 CAPLUS
CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)- (9CI) (CA INDEX NAME)



RN 154056-89-0 CAPLUS
CN Methanone, 2-benzofuranyl(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

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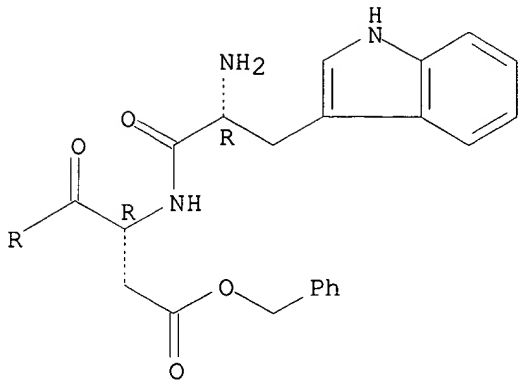
L49 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1994:218553 CAPLUS
DN 120:218553
TI Preparation of endothelin receptor-binding peptides
IN Coy, David H.; Hocart, Simon J.; Rossowski, Wojciech J.
PA Tulane Educational Fund, USA
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9317701	A1	19930916	WO 1993-US2195	19930310
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9338021	A1	19931005	AU 1993-38021	19930310
PRAI	US 1992-849876		19920312		
	WO 1993-US2195		19930310		

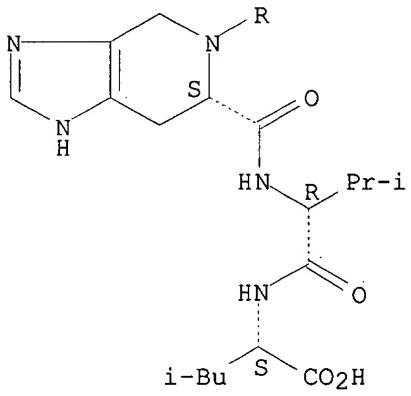
OS MARPAT 120:218553
AB Cyclo(D-Trp-D-Asp-X-D-Val-Leu) (I; X = .alpha.-amino acid residue with a conformationally restricted C4-16 side chain), and related compds., were prepd. Thus, BOC-D-Trp(OBzl)-D-Ser-Pro-D-Val-Leu-O-Merrifield resin (prepn. given) was stirred with CF3CO2H/anisole/dithiothreitol to give a crude peptide which was stirred with BOP reagent/diisopropylethylamine in DMF to give cyclo(D-Trp-D-Ser-Pro-D-Val-Leu). I (X = 1,2,3,4-tetrahydrocarboline-3-carboxylate residue) showed IC50 = 16.2 nM for binding to endothelin receptors and inhibited endothelin-induced uterine contractions with IC50 = 2 .times.10-7 M, while I (X = 4,5,6,7-tetrahydro-1H-imidazo[c]pyridine-6-carboxylate residue) showed agonist activity.
IT **153982-46-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of, in prepn. of endothelin receptor ligand)
RN 153982-46-8 CAPLUS
CN L-Leucine, D-tryptophyl-D-.alpha.-aspartyl-L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carbonyl-D-valyl-, 2-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

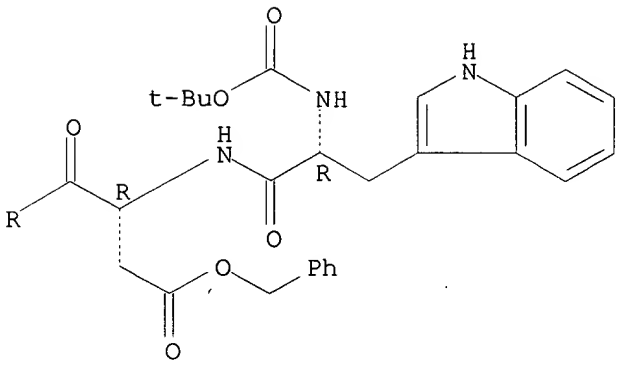


IT **153982-42-4DP**, resin bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for endothelin receptor ligand)
RN 153982-42-4 CAPLUS
CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl-D-.alpha.-

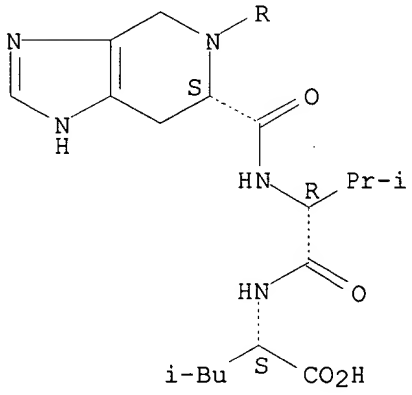
aspartyl-L-4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carbonyl-D-valyl-, 2-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

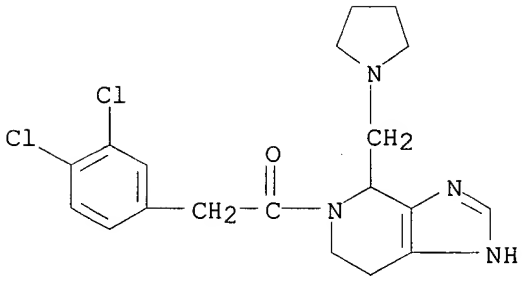


PAGE 2-A



=> d bib abs hitstr 22

L49 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1993:617143 CAPLUS
DN 119:217143
TI Opiate receptors within the blood-brain barrier mediate kappa agonist-induced water diuresis
AU Brooks, David P.; Giardina, Giuseppe; Gellai, Miklos; Dondio, Guilio; Edwards, Richard M.; Petrone, Giuseppe; DePalma, P. Dennis; Sbacchi, Massimo; Jugus, Malcolm; et al.
CS Dep. Renal Pharmacol., SmithKline Beecham Pharm., King of Prussia, PA, USA
SO J. Pharmacol. Exp. Ther. (1993), 266(1), 164-71
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Data suggest that kappa opioid agonist-induced water diuresis involves inhibition of vasopressin (AVP) secretion; however, it is not clear whether this action involves kappa receptors in the neurohypophysis or receptors behind the blood-brain barrier (BBB). The authors have investigated the site of action using three selective kappa agonists, BRL 52656 (S(-)-2-(1-pyrrolidinylmethyl)-1-(4-trifluoromethylphenyl) acetyl piperidine hydrochloride), BRL 53114 ((-)-1-(4-trifluoromethylphenyl) acetyl-2-(1-pyrrolidinylmethyl)-1-(4-trifluoromethylphenyl) acetyl piperidine hydrochloride), and BRL 52974 (4-(1-pyrrolidinylmethyl)-5-(3,4-dichlorophenyl)acetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine), with varying abilities to cross the BBB. Chem. and functional assays indicate that BRL 52974 has limited ability to cross the BBB, whereas BRL 53114 and BRL 52656 can freely penetrate. BRL 52974 was significantly less potent than BRL 52656 and BRL 53114 in causing a water diuresis in conscious rats. The ED10S (i.v. doses to cause a pos. free water clearance of 10 .mu.L/min.100 g) for BRL 52974, BRL 52656 and BRL 53114 were 181, 9 and 3.4 mg/kg, resp. Furthermore, in dogs BRL 52656 and BRL 53114 but not BRL 52974 (30 .mu.g/kg i.v.) were able to cause a significant water diuresis. The data demonstrate that opiate receptors behind BBB are primarily involved in kappa agonist-induced water diuresis and possibly inhibition of AVP secretion.
IT **145544-79-2**, BRL 52974
RL: BIOL (Biological study)
(diuresis from, blood-brain barrier permeability and .kappa.-opioid receptors in)
RN 145544-79-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



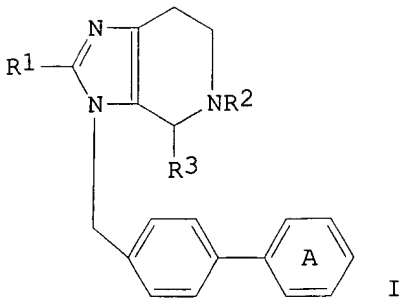
Same as reference 17

=> d bib abs hitstr 23

L49 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1993:495528 CAPLUS
DN 119:95528
TI Preparation of 3-(biphenylylmethyl)-4,5-6,7-tetrahydroimidazo[4,5-c]pyridines as angiotensin II antagonists
IN Honma, Yasushi; Sekine, Yasuo; Nomura, Sumihiro; Naito, Kazuaki; Narita, Hiroshi
PA Tanabe Seiyaku Co., Ltd., Japan
SO Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 531874	A1	19930317	EP 1992-114976	19920902
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2077419	AA	19930311	CA 1992-2077419	19920902
	CA 2077419	C	19980825		
	AU 9222057	A1	19930311	AU 1992-22057	19920902
	AU 644540	B2	19931209		
	IL 103020	A1	19981030	IL 1992-103020	19920902
	US 5409936	A	19950425	US 1992-940336	19920903
	JP 05279361	A2	19931026	JP 1992-239078	19920908
	JP 2564784	B2	19961218		
	JP 05279362	A2	19931026	JP 1992-239079	19920908
	JP 2564785	B2	19961218		
	CN 1070912	A	19930414	CN 1992-110594	19920910
	CN 1039323	B	19980729		
	FI 98368	B	19970228	FI 1992-4044	19920910
	FI 98368	C	19970610		
	US 5424316	A	19950613	US 1993-58925	19930510
	US 5510354	A	19960423	US 1995-405201	19950316
PRAI	JP 1991-308561	19910910			
	JP 1992-53043	19920127			
	US 1992-940336	19920903			
	US 1993-58925	19930510			

OS MARPAT 119:95528
GI



I

AB Title compds. [I; R1 = H, alkyl; R2 = H, alkylsulfonyl, R4C(:Z); R3 = CO2H, alkoxycarbonyl; R4 = (substituted) alkyl; Z = O, (H,H); ring A may be substituted], were prepd. as angiotensin II antagonists (no data). Thus, Me 5-diphenylacetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyrimidine-4-carboxylate (prepn. given), [2'-(1-trityl-1H-tetrazol-5-yl)biphen-4-yl]methyl bromide, and NaH were stirred in DMF at ice temp.-room temp. to give Me 5-diphenylacetyl-3-[2'-(1-trityl-1H-tetrazol-5-yl)biphen-4-yl]methyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine-4-carboxylate, together with the 1-substituted imidazopyridine. I were said to show significant hypotensive activity at 3 mg/kg orally in rats together with low toxicity.

IT **148454-54-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (biphenylylmethyl)imidazopyridine angiotensin II antagonist)

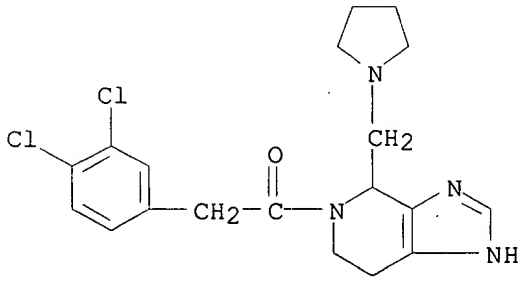
RN 148454-54-0 CAPLUS

=> d bib abs hitstr 24

L49 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1993:52435 CAPLUS
DN 118:52435
TI Use of heterocyclic compounds for the treatment of inflammatory pain
IN Clarke, Geoffrey Douglas; Colle, Roberto; Giardina, Giuseppe; Vecchietti, Vittorio
PA Zambeletti, Dr. Lo., S.p.A., Italy
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9218115	A1	19921029	WO 1992-EP838	19920408
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 9215324	A1	19921117	AU 1992-15324	19920408
PRAI	GB 1991-8326		19910418		
	GB 1991-15143		19910713		
	WO 1992-EP838		19920408		

OS MARPAT 118:52435
AB Administration of a variety of N-contg. heterocyclic .kappa.-opioid receptor agonists which act on sensory nerve terminals diminishes the release of neurogenic inflammatory mediators and thereby decreases the transmission of nociceptive information to the central nervous system (no data). The compds. may be useful as peripheral analgesics in treatment of painful inflammatory conditions such as arthritis and low back pain. Preferred compds. include 4-(pyrrolidin-1-yl)methyl-5-(3,4-dichlorophenyl)acetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine, 1-(4-trifluoromethylphenylacetyl)-2-(1-pyrrolidinylmethyl)piperidine, and (2S)-1-[1-oxo-3,4-dihydro-(2H)naphth-6-yl]acetyl-2-dimethylaminomethylpiperidine-HCl.
IT **145544-79-2**
RL: BIOL (Biological study)
(pain treatment with, in inflammation)
RN 145544-79-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-[(3,4-dichlorophenyl)acetyl]-4,5,6,7-tetrahydro-4-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



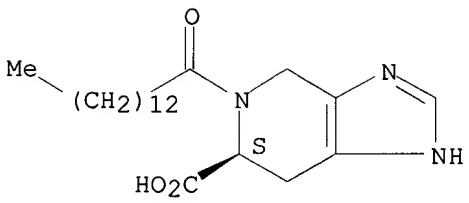
=> d bib abs hitstr 25

L49 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1992:651781 CAPLUS
DN 117:251781
TI Preparation of N-myristoyl amino acids and analogs as N-myristoyltransferase inhibitors
IN Vincent, Michel; Remond, Georges; Portevin, Bernard; Boutin, Jean Albert; Atassi, Ghanem
PA ADIR et Cie., Fr.
SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 499521	A1	19920819	EP 1992-400341	19920211
	EP 499521	B1	19950614		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	FR 2672598	A1	19920814	FR 1991-1502	19910211
	FR 2672598	B1	19950120		
	CA 2060967	AA	19920812	CA 1992-2060967	19920210
	AU 9210854	A1	19920813	AU 1992-10854	19920210
	AU 660007	B2	19950608		
	JP 05132454	A2	19930528	JP 1992-69911	19920210
	JP 06099379	B4	19941207		
	US 5266576	A	19931130	US 1992-833301	19920210
	ZA 9200986	A	19921125	ZA 1992-986	19920211
	ES 2076009	T3	19951016	ES 1992-400341	19920211
PRAI	FR 1991-1502		19910211		

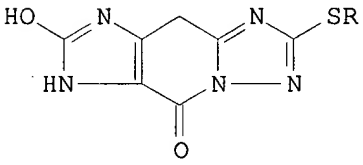
OS MARPAT 117:251781
AB R4XNR3CR1R2Y [R1 = H, alkyl, Ph, cycloalkylmethyl, 2-imidazolylmethyl, etc.; R2, R3 = H, alkyl; when R1 = H R2R3 = atoms to complete a ring; R4 = C6-21 (substituted)(O-, S-, or phenylene-interrupted) alkyl, etc.; X = CO, SO2, P(O)(OH); Y = COR5, P(O)R6R61; R5 = OH, alkoxy, OCH2CONH2, NH2, etc.; R6, R61 = H, OH, alkoxy] were prepd. Thus, N-hydroxysuccinimide was esterified by myristic acid and the product condensed with 3-(S)-carboxy-1,2,3,4-tetrahydroisoquinoline to give N-myristoyl-3-(S)-carboxy-1,2,3,4-tetrahydroisoquinoline which had IC50 of 1.8 .times. 10-7 M against N-myristoyltransferase in vitro.
IT **144648-99-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as N-myristoyltransferase inhibitor)
RN 144648-99-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-(1-oxotetradecyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


O
Me
(CH2)12
N
N
S
NH
HO2C

=> d bib abs hitstr 26

L49 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1992:612406 CAPLUS
DN 117:212406
TI Synthesis of 6,9-dihydro-7-hydroxy-2-(methylthio)-5H-imidazo[4,5-d]-s-triazolo[1,5-a]pyridin-5-one
AU Khodeir, M. N. M.; Zoorob, H. H.; Amer, F. A.; Waly, M. A.
CS Fac. Sci., Mansoura Univ., Damietta, Egypt
SO Egypt. J. Pharm. Sci. (1992), 33(1-2), 369-78
CODEN: EJPSBZ; ISSN: 0301-5068
DT Journal
LA English
GI



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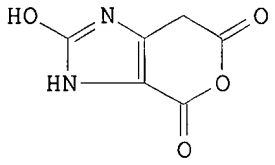
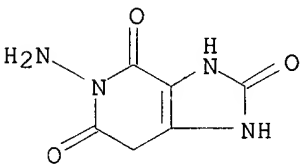


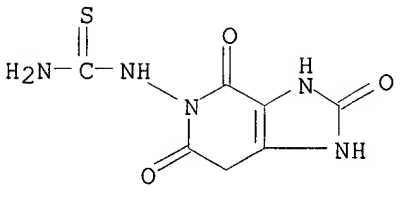
II

AB The title compd. (I, R = Me) was prepd. in 36.5% yield by treating dihydrohydroxypyranoimidazoledione II with MeSC(NH2):NNH2.HI and fused NaOH in DMF. I (R = Et) was similarly prepd. in 30% yield from EtSC(NH2):NNH2.HBr.
IT **127574-20-3**
RL: RCT (Reactant)
(addn. reaction of, with ammonium cyanate)
RN 127574-20-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 5-amino-3,7-dihydro- (9CI) (CA INDEX NAME)



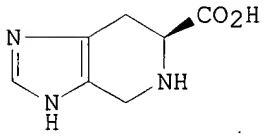
IT **143997-14-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and intramol. cyclocondensation and methylation of)
RN 143997-14-2 CAPLUS
CN Thiourea, (1,2,3,4,6,7-hexahydro-2,4,6-trioxo-5H-imidazo[4,5-c]pyridin-5-yl)- (9CI) (CA INDEX NAME)

Searched by John Dantzman 703-308-4488



=> d bib abs hitstr 27

L49 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1991:229317 CAPLUS
DN 114:229317
TI 4,5,6,7-Tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acids (spinacines)
AU Klutchko, Sylvester; Hodges, John C.; Blankley, C. John; Colbry, Norman L.
CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SO J. Heterocycl. Chem. (1991), 28(1), 97-108
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 114:229317
GI



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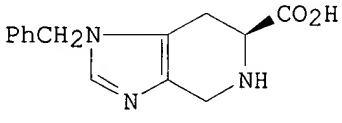
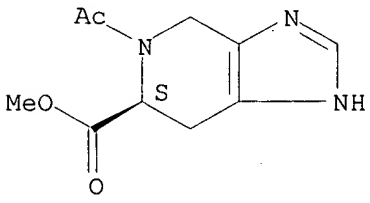


II

AB New derivs. of the title naturally occurring amino acid I were prepd. Thus, the cyclocondensation of 3-benzyl-L-histidine with aq. H2CO gave 60%
spinacine deriv. II. Cyclic hydantoin derivs. of spinacines are included.
IT **133807-80-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)
RN 133807-80-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-acetyl-4,5,6,7-tetrahydro-, methyl ester, (S)- (9CI) (CA INDEX NAME)

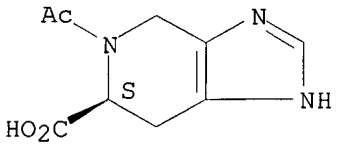
Absolute stereochemistry.



IT **133807-89-3P 133807-90-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 133807-89-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
5-acetyl-4,5,6,7-tetrahydro-,
monohydrochloride, (S)- (9CI) (CA INDEX NAME)

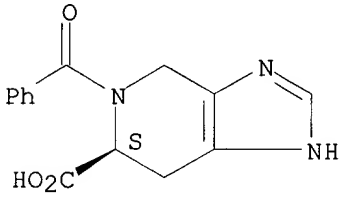
Absolute stereochemistry.



● HCl

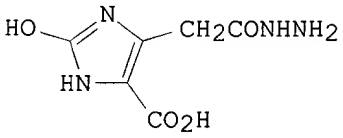
RN 133807-90-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid,
5-benzoyl-4,5,6,7-tetrahydro-
, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

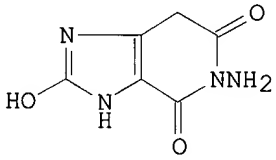


=> d bib abs hitstr 28

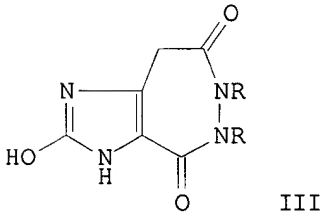
L49 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1990:406230 CAPLUS
DN 113:6230
TI Synthesis of imidazole-fused heterocycles: reaction of 3,4,6,7-tetrahydro-2-hydroxypyrano[3,4-d]imidazole-4,6-dione with hydrazines and amines
AU Zoorob, H. H.; Khodeir, M. N. M.; Waly, M. A.; Amer, F. A.
CS Fac. Sci., Mansoura Univ., El-Mansoura, Egypt
SO Indian J. Chem., Sect. B (1990), 29B(1), 29-33
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 113:6230
GI



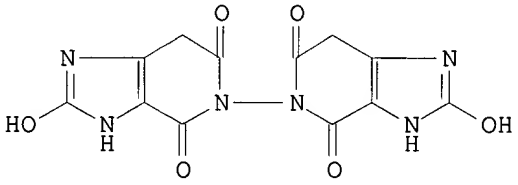
I



II

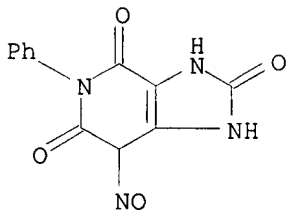


III

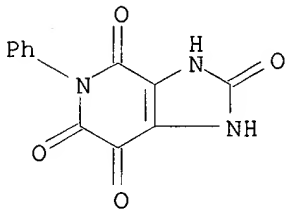


IV

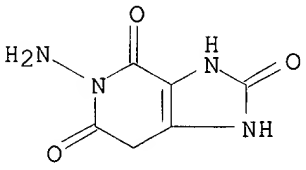
AB Reaction of the title compd. with N2H4.H2O affords either hydrazide I, imidazopyridine II, imidazodiazepine III (R = H), or dimer IV, depending upon the reaction conditions. With MeNHNHMe in AcOH, the product is III (R = Me), and with PhNHNH2 the product again depends on the reaction conditions.
IT **127574-27-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation reaction of, with Et cyanoacetate)
RN 127574-27-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-7-nitroso-5-phenyl-
(9CI) (CA INDEX NAME)



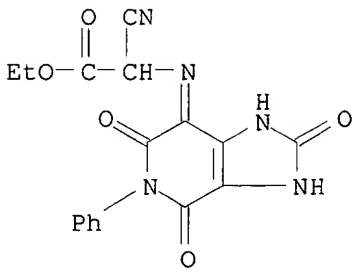
IT **127574-29-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation reaction of, with phenylhydrazine)
RN 127574-29-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6,7(3H,5H)-tetrone, 5-phenyl- (9CI) (CA INDEX NAME)



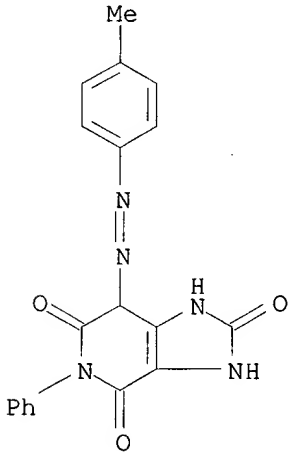
IT **127574-20-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation reactions of, with imidazopyrandione or (carbethoxymethyl)imidazolecarboxylate deriv.)
RN 127574-20-3 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 5-amino-3,7-dihydro- (9CI) (CA INDEX NAME)



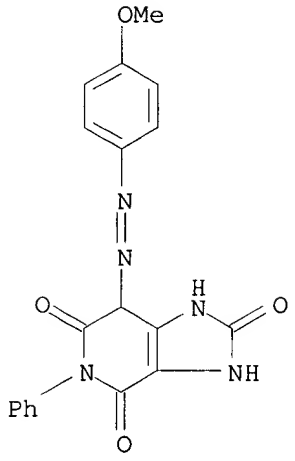
IT **127574-28-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of, trione from)
RN 127574-28-1 CAPLUS
CN Acetic acid, cyano[(1,2,3,4,5,6-hexahydro-2,4,6-trioxo-5-phenyl-7H-imidazo[4,5-c]pyridin-7-ylidene)amino]-, ethyl ester (9CI) (CA INDEX NAME)



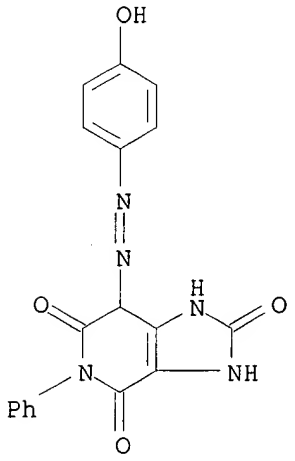
IT **127574-15-6P 127574-16-7P 127574-17-8P**
127574-22-5P 127574-23-6P 127574-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 127574-15-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-7-[(4-methylphenyl)azo]-5-phenyl- (9CI) (CA INDEX NAME)



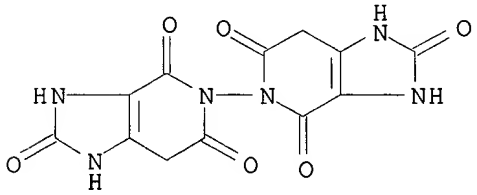
RN 127574-16-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-7-[(4-methoxyphenyl)azo]-5-phenyl- (9CI) (CA INDEX NAME)



RN 127574-17-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-7-[(4-hydroxyphenyl)azo]-5-phenyl- (9CI) (CA INDEX NAME)

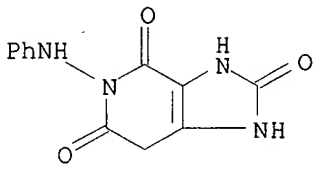


RN 127574-22-5 CAPLUS
CN [5,5'-Bi-5H-imidazo[4,5-c]pyridine]-2,2',4,4',6,6'(1H,1'H)-hexone, 3,3',7,7'-tetrahydro- (9CI) (CA INDEX NAME)

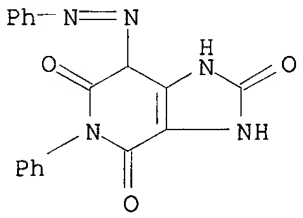


RN 127574-23-6 CAPLUS

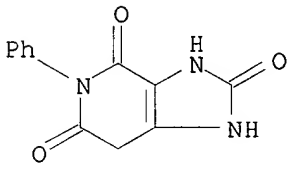
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-5-(phenylamino)- (9CI) (CA INDEX NAME)



RN 127574-30-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-5-phenyl-7-(phenylazo)- (9CI) (CA INDEX NAME)

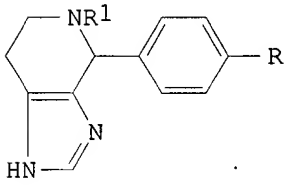


IT **127574-26-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., nitrosation, and coupling reaction of, with arenediazonium chlorides)
RN 127574-26-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-2,4,6(5H)-trione, 3,7-dihydro-5-phenyl- (9CI) (CA INDEX NAME)

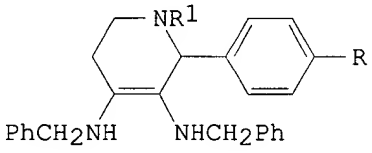


=> d bib abs hitstr 29

L49 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1990:216653 CAPLUS
DN 112:216653
TI Synthesis of 6-aryl-4,5-dibenzamido-1,2,3,6-tetrahydropyridines
AU Stocker, Fred B.; Evans, April J.
CS Dep. Chem., Macalester Coll., St. Paul, MN, 55105, USA
SO J. Org. Chem. (1990), 55(10), 3370-3
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 112:216653
GI

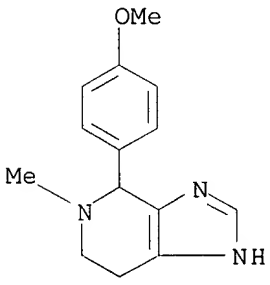


I



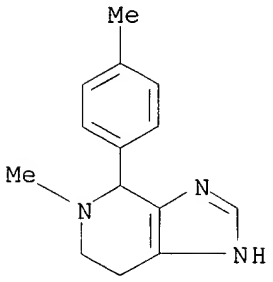
II

AB Bamberger reaction of 4-aryltetrahydroimidazo[4,5-c]pyridines I (R = Me, OMe, Cl; R1 = H) gave predominately I (R1 = CH2Ph) with only small amts. of title compds. II (R1 = CH2Ph). Reaction of I (R1 = Me), however, gave II (R1 = Me) in 65-68% yield.
IT **126036-42-8P 126036-43-9P 126036-44-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Bamberger ring fission of, with benzoyl chloride)
RN 126036-42-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
4,5,6,7-tetrahydro-4-(4-methoxyphenyl)-5-methyl-
(9CI) (CA INDEX NAME)

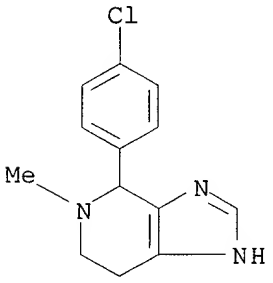


RN 126036-43-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
4,5,6,7-tetrahydro-5-methyl-4-(4-methylphenyl)-703-308-4488

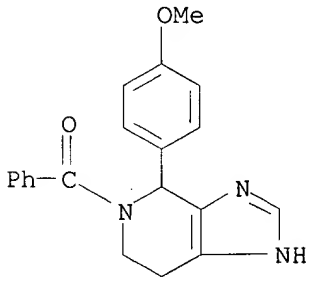
(9CI) (CA INDEX NAME)



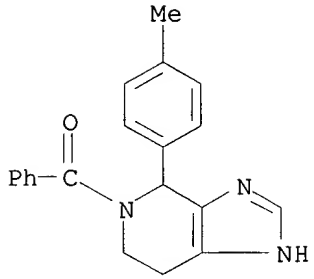
RN 126036-44-0 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
4-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-
(9CI) (CA INDEX NAME)



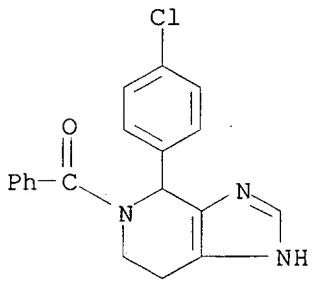
IT **126036-48-4P 126036-49-5P 126036-50-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 126036-48-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-benzoyl-4,5,6,7-tetrahydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 126036-49-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
5-benzoyl-4,5,6,7-tetrahydro-4-(4-methylphenyl)-
(9CI) (CA INDEX NAME)



RN 126036-50-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine,
5-benzoyl-4-(4-chlorophenyl)-4,5,6,7-tetrahydro-
(9CI) (CA INDEX NAME)



=> d bib abs hitstr 30

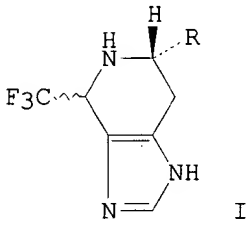
L49 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1990:158225 CAPLUS
DN 112:158225
TI Preparation of thienopyridine, pyridoindole, and imidazopyridine derivatives as analgesics
IN Vecchietti, Vittorio; Giardina, Giuseppe
PA Zambeletti, Dr. L., S.p.A., Italy
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 333427	A1	19890920	EP 1989-302486	19890314
	EP 333427	B1	19950823		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8901240	A	19890917	DK 1989-1240	19890314
	AU 8931315	A1	19890921	AU 1989-31315	19890314
	AU 616488	B2	19911031		
	ZA 8901915	A	19900228	ZA 1989-1915	19890314
	US 4999359	A	19910312	US 1989-323617	19890314
	CA 1336909	A1	19950905	CA 1989-593618	19890314
	ES 2075849	T3	19951016	ES 1989-302486	19890314
	JP 02101062	A2	19900412	JP 1989-62376	19890316
PRAI	GB 1988-6210	19880316			
	GB 1988-23562	19881007			

OS MARPAT 112:158225
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = (substituted) aryl, heteroaryl; R1, R2 = H, C1-6 alkyl, C2-6 alkenyl, C3-6 cycloalkyl, C4-12 cycloalkylalkyl; R1R2 = (substituted) C2-8 polymethylene, C2-6 alkenylene; R3 = H, C1-6 alkyl, Ph; R1R3 = (CH2)3-4; R4 = C1-6 alkyl, Ph; R5 = H; R4R5 = (CH2)1-3; X = C5-12 (fused) heterocyclyl contg. .ltoreq.4 heteroatoms/ring selected from O, S, and N], useful as analgesics, were prepd. Thus, 4-(1-pyrrolidinylmethyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine (prepn. given) and 3,4-Cl2C6H3CH2CO2H in CH2Cl2 at -5.degree. were treated with DCC in CH2Cl2. The mixt. was stirred 6 h at room temp. to give N-acylthienopyridine II. The latter in the p-phenylquinone-induced writhing test in mice showed an ED50 of 0.004 mg/kg s.c. In binding to opiate receptors, II showed Ki of 1.1 nm for kappa receptors and 85 nm for mu receptors.
IT **126149-04-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as analgesic)
RN 126149-04-0 CAPLUS

=> d bib abs hitstr 31

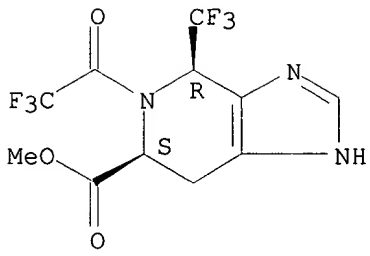
L49 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1988:132282 CAPLUS
DN 108:132282
TI Facile syntheses of 4-(trifluoromethyl)-L-spinacine and 4-(trifluoromethyl)spinaceamine
AU Fujii, Shozo; Maki, Yasuo; Kimoto, Hiroshi; Cohen, Louis A.
CS Gov. Ind. Res. Inst., Nagoya, Nagoya, 462, Japan
SO J. Fluorine Chem. (1987), 35(4), 581-9
CODEN: JFLCAR; ISSN: 0022-1139
DT Journal
LA English
OS CASREACT 108:132282
GI



I

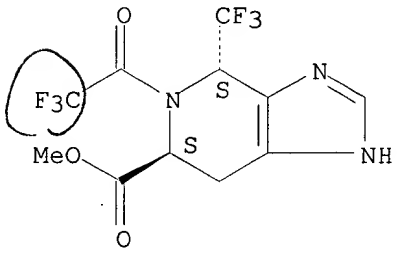
AB Reaction of L-histidine with trifluoroacetaldehyde Et hemiacetal in boiling water gave 4-(trifluoromethyl)-L-spinacine (I, R = CO2H) in near quant. yield. The product contains two diastereoisomers in the ratio 68:32 which were sepd. (silica gel) as their protected derivs., 5-N-(trifluoroacetyl)-4-(trifluoromethyl)-L-spinacine Me esters, and were regenerated by acid hydrolysis. The analogous reaction with histamine provides 4-(trifluoromethyl)spinaceamine (I, R = H) in 91% yield.
IT **113306-68-6P 113351-15-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deprotection of)
RN 113306-68-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-(trifluoroacetyl)-4-(trifluoromethyl)-, methyl ester, (4R-cis)- (9CI)
(CA
INDEX NAME)

Absolute stereochemistry.



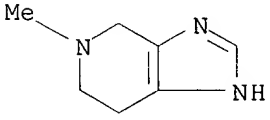
RN 113351-15-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4,5,6,7-tetrahydro-5-(trifluoroacetyl)-4-(trifluoromethyl)-, methyl ester, (4S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 32

L49 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1987:3061 CAPLUS
DN 106:3061
TI Indole-, imidazole- and phenyl-alkylamines in the skin of one hundred and forty American amphibian species other than bufonids
AU Roseghini, M.; Erspamer, V.; Falconieri Erspamer, G.; Cei, J. M.
CS Inst. Med. Pharmacol., 1st. Univ. Rome, Rome, I-00100, Italy
SO Comp. Biochem. Physiol., C: Comp. Pharmacol. Toxicol. (1986), 85C(1), 139-47
CODEN: CBPCEE; ISSN: 0742-8413
DT Journal
LA English
AB Exts. prepd. from dried or fresh skins of 140 American amphibian species, other than bufonids, were subjected to chem. and biol. screening to det. the presence and concns. of arom. biogenic amines. The most frequent and abundantly occurring amine category was that of indolealkylamines, represented by their prototype 5-HT and its N-methylated derivs. Conjugated and cyclized indolealkylamines, typical for the toad skin, were apparently lacking. Phenylalkylamines were represented by 2 quaternary ammonium bases: leptodactyline and, very rarely, candicine. Leptodactyline was particularly abundant in leptodactylid frogs of the genus Leptodactylus. Histamine occurred in trace amts. in different species and in large amts. only in some Leptodactylus species of the pachypus section. On the other hand, N-methylated histamines and cyclized histamines (spinaceamines) were confined to the skin of L. pentadactylus labyrinthicus. The possible taxonomical and evolutionary significance of amphibian skin amines is pointed out.
IT **10517-40-5**, 6-Methylspinaceamine
RL: BIOL (Biological study)
(of skin, of amphibians)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



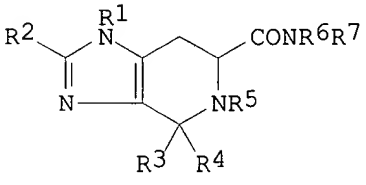
Same as ref. 8

=> d bib abs hitstr 33

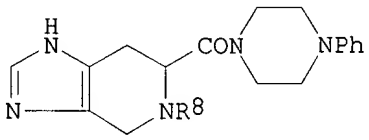
L49 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1986:88514 CAPLUS
DN 104:88514
TI 4,5,6,7-Tetrahydroimidazo[4,5-c]pyridine derivatives and their use in medicine
IN Scarponi, Ugo; Cimaschi, Roberto; De Castiglione, Roberto; Verini, Antonietta
PA Farmitalia Carlo Erba S.p.A., Italy
SO Ger. Offen., 31 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3521303	A1	19851031	DE 1985-3521303	19850613
	GB 2158440	A1	19851113	GB 1985-14278	19850606
	GB 2158440	B2	19880602		
	BE 902611	A1	19850930	BE 1985-215149	19850607
	JP 61167687	A2	19860729	JP 1985-158479	19850719
PRAI	GB 1985-1542	19850122			

GI



I

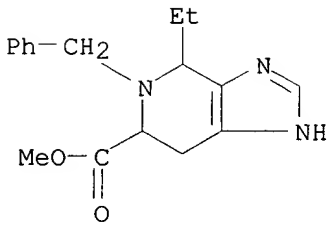


II

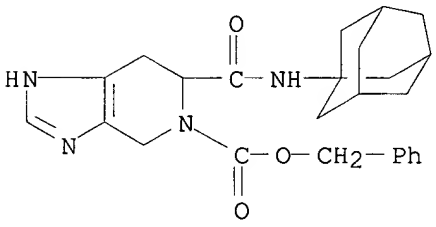
AB Tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxamides I [R1 = H, alkyl, alkenyl, (un)substited PhCH2; R2-R4 = cycloalkyl, (un)substituted Ph, R1; R5 = R2CO, R2O2C, R6NHC(X), R2; R6R7 = adamantyl, adamantylmethyl, R2; R6R7N = heterocyclyl; X = O, S] and their 3H-tautomers were prepd. Thus, 78 g 4,5,6,7-tetrahydro-1H-imidazo[4,5-c]pyridine-6-carboxylic acid was acylated with PhCH2O2CCl to give 32 g 5-(benzyloxycarbonyl) deriv. which (3.013 g) was heated at 100.degree. in DMF with 1,1'-carbonyldiimidazole and 1-phenylipiperazine to give 3 g piperazinylcarbonyl deriv. II (R8 = PhCH2O2C). The latter was hydrogenated over Pd/C to give 75% II (R8 = H) (III). In mice infected with influenza APR 8 virus 50 mg III/kg orally gave 66% protection against lung lesions.

IT **100307-63-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and aminolysis of)

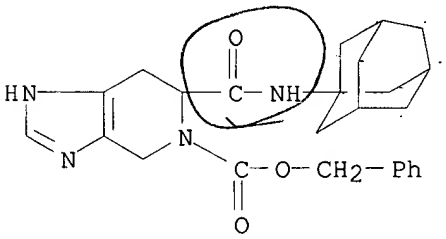
RN 100307-63-9 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 4-ethyl-4,5,6,7-tetrahydro-5-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



IT **100307-52-6P 100307-53-7P 100307-54-8P**
100307-58-2P 100307-59-3P 100307-60-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as virucide)
RN 100307-52-6 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-6-[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

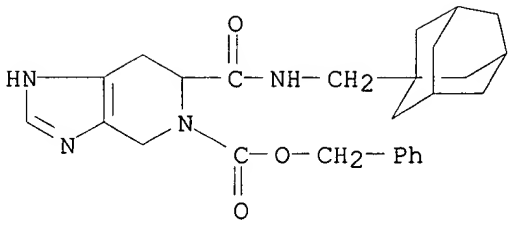


RN 100307-53-7 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-6-[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

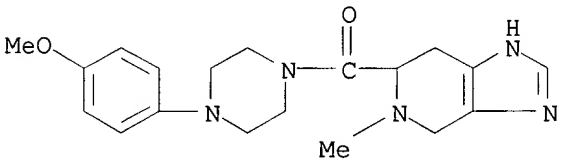


● HCl

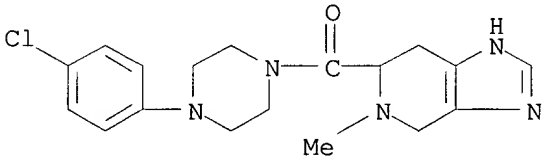
RN 100307-54-8 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-6-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-, phenylmethyl ester
(9CI) (CA INDEX NAME)



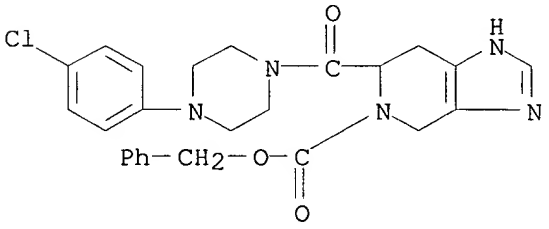
RN 100307-58-2 CAPLUS
CN Piperazine, 1-(4-methoxyphenyl)-4-[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 100307-59-3 CAPLUS
CN Piperazine, 1-(4-chlorophenyl)-4-[(4,5,6,7-tetrahydro-5-methyl-1H-imidazo[4,5-c]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 100307-60-6 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboxylic acid, 6-[[4-(4-chlorophenyl)-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-, phenylmethyl ester (9CI) (CA INDEX NAME)

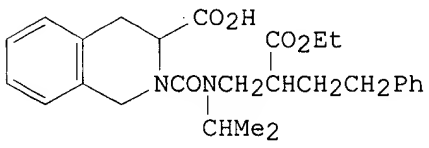


=> d bib abs hitstr 34

L19 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1983:488067 CAPLUS
DN 99:88067
TI Urea derivatives, remedies containing them and their use
IN Henning, Rainer; Urbach, Jansjoerg; Geiger, Rolf; Teetz, Volker; Schoelkens, Bernward
PA Hoechst A.-G. , Fed. Rep. Ger.
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 74070	A1	19830316	EP 1982-108019	19820901
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DE 3134933	A1	19830331	DE 1981-3134933	19810903
	ES 515301	A1	19830501	ES 1982-515301	19820827
	FI 8203026	A	19830304	FI 1982-3026	19820901
	US 4515803	A	19850507	US 1982-413663	19820901
	NO 8202974	A	19830304	NO 1982-2974	19820902
	DK 8203934	A	19830304	DK 1982-3934	19820902
	AU 8287930	A1	19830310	AU 1982-87930	19820902
	AU 558623	B2	19870205		
	JP 58055451	A2	19830401	JP 1982-151869	19820902
	ZA 8206420	A	19830727	ZA 1982-6420	19820902
	HU 31103	O	19840428	HU 1982-2821	19820902
	HU 190698	B	19861028		
	IL 66702	A1	19870227	IL 1982-66702	19820902
	CA 1307000	A1	19920901	CA 1982-410659	19820902
	US 4624962	A	19861125	US 1985-697340	19850201
PRAI	DE 1981-3134933	19810903			
	US 1982-413663	19820901			

GI



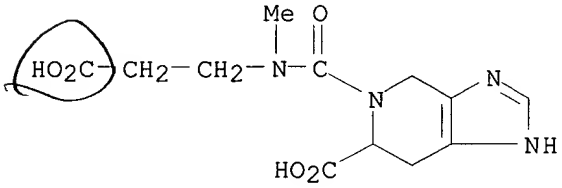
I

AB Ureas R1O2CCHR3NR2CONR4(CHR5)nCHR6CO2R [n = 0-3; R, R1 = H, C1-8 alkyl, alkenyl, Ph or PhCH2 (un)substituted with Me, halo, MeO, or NO2; R2 = H, C1-8 alkyl, alkenyl; R3 = H, C1-10 alkyl, substituted alkyl; R3CHNR2 complete a(n) (un)satd. 4-8 membered monocyclic or 8-10 membered bicyclic ring system, optionally contg. 1-2 O, 1-2 S, and(or) 1-4 N atoms, (un)substituted with OH, C1-3 alkyl or alkoxy, Ph; R4 = C1-8 aliph. hydrocarbyl, C3-6 cycloalkyl, (un)substituted Ph or Ph(CH2)n (n = 1-3); R5 = H, C1-5 alkyl, OH, C1-3 alkoxy; R6 = H, C1-12 alkyl, C3-12 cycloalkyl,

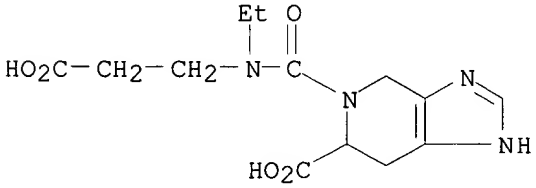
C2-12 alkenyl, (un)substituted Ph or naphthyl] and their salts, inhibitors
of angiotensin- converting enzyme [IC50 (inhibiting concn.) 5 .times. 10-9
to 10-6 mol/L] and thus useful as long-acting antihypertensives, were
prepd. Thus, the 2 isomers of 3(S)-substituted isoquinoline I were prepd.
in 7 steps from 1,2,3,4-tetrahydro-3-isoquinolinecarboxylic acid, PhCH2OH,
and PhSO3H.

IT **86633-51-4 86633-52-5 86633-53-6**
86633-54-7 86633-55-8 86670-10-2
RL: RCT (Reactant)
(prepn. as antihypertensive)

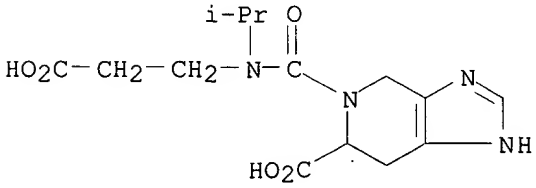
RN 86633-51-4 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-carboxyethyl)methylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



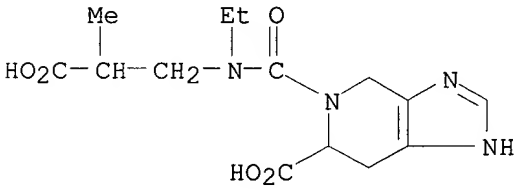
RN 86633-52-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-carboxyethyl)ethylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



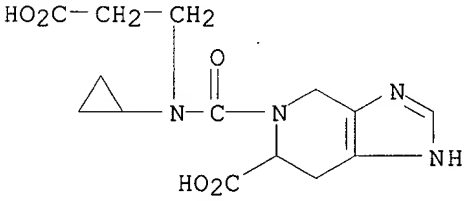
RN 86633-53-6 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-carboxyethyl)(1-methylethyl)amino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



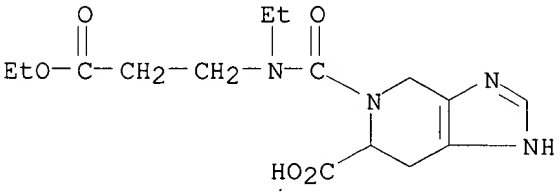
RN 86633-54-7 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-carboxypropyl)ethylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 86633-55-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(2-carboxyethyl)cyclopropylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 86670-10-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 5-[[(3-ethoxy-3-oxopropyl)ethylamino]carbonyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

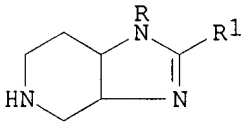


=> d bib abs hitstr 35

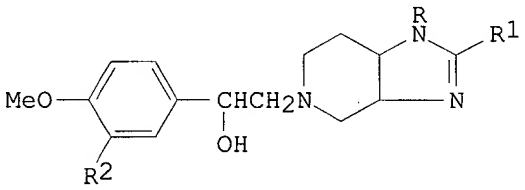
L49 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1983:470725 CAPLUS
DN 99:70725
TI 1- or 1,3-Substituted 4,5,6,7-tetrahydroimidazo[4,5-c]pyridines
IN Yutilov, Yu. M.; Eilazyan, O. G.
PA Institute of Physical-Organic Chemistry and Coal Chemistry, Academy of Sciences, Ukrainian S.S.R., USSR
SO U.S.S.R.
From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1983, (10), 106.
CODEN: URXXAF
DT Patent
LA Russian
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1004385	A1	19830315	SU 1981-3258836	19810309

GI



I

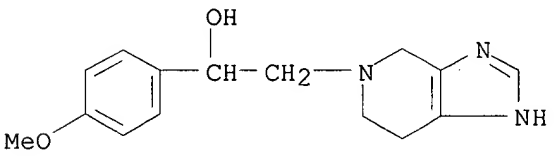


II

AB Title compds. I (R = Me, Et; R1 = H, Me) are prepd. by a simplified procedure with increased yield and expanded scope by treating methoxy-substituted 5-(.beta.-phenyl-.beta.-hydroxyethyl)-4,5,6,7-tetrahydroimidazo[4,5-c]pyridines II (same R, R1; R2 = H, OMe) with HCl in refluxing aq. alc.

IT **86674-44-4D**, derivs.
RL: RCT (Reactant)
(hydrolysis of)

RN 86674-44-4 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-ethanol, 1,4,6,7-tetrahydro-.alpha.-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

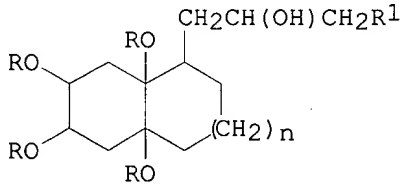


=> d bib abs hitstr 36

L49 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1980:146611 CAPLUS
DN 92:146611
TI Substituted 3,6-dihydro-1(2H)-pyridinylpropanols
IN Hauck, Frederic P.; Fox, Rita T.; Watrous, John R.
PA Squibb, E. R., and Sons, Inc., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4169200	A	19790925	US 1977-824378	19770815
	US 4127579	A	19781128	US 1977-855038	19771125
	CA 1113937	A1	19811208	CA 1978-299457	19780321
	GB 1596357	A	19810826	GB 1978-12506	19780330
	FR 2386528	A1	19781103	FR 1978-9535	19780331
	FR 2386528	B1	19810724		
	DE 2814799	A1	19781019	DE 1978-2814799	19780405
PRAI	US 1977-784888	19770405			
	US 1977-824378	19770815			
	US 1977-855038	19771125			

GI



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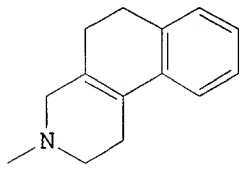
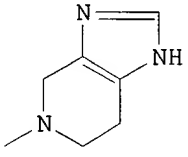
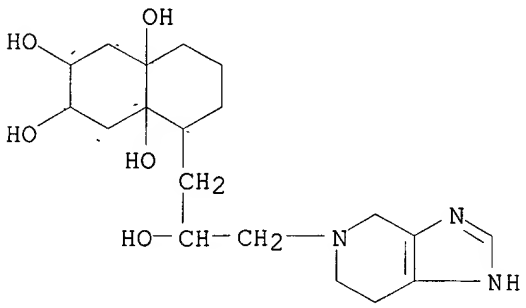
A= 

B= 

AB (Tetrahydropyridyl)isopropanols I [n = 0, 1, 2; R = alkanoyl; R1 = x-phenyl-1,2,3,6-tetrahydro-1-pyridyl, A, x-styryl-1,2,3,6-tetrahydro-1-pyridyl, 4-(2-benzoxazolyl)-1,2,3,6-tetrahydro-1-pyridyl, 1,2,3,4-tetrahydroisoquinolin-2-yl, B], useful as antihypertensives (no data), were prepd. from the tetrahydropyridines and the resp. glycidyl-substituted bicyclic compds. Thus, a mixt. of 5-glycidyl-2,3,4a,8a-tetraacetoxydecalin, 4-phenyl-1,2,3,6-tetrahydropyridine, C6H6, and EtOH was stirred .apprx.16h at 55-7.degree. to yield I (n = 1, R = Ac, R1 = 4-phenyl-1,2,3,6-tetrahydro-1-pyridyl).

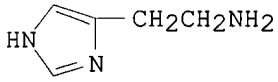
IT **72717-36-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 72717-36-3 CAPLUS
CN 2,3,4a,8a-Naphthalenetetrol,
octahydro-5-[2-hydroxy-3-(1,4,6,7-tetrahydro-
5H-imidazo[4,5-c]pyridin-5-yl)propyl]- (9CI) (CA INDEX NAME)



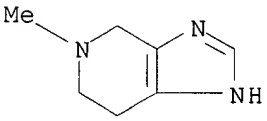
=> d bib abs hitstr 37

L49 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1978:130630 CAPLUS
DN 88:130630
TI High pressure liquid-liquid chromatography. Separation and dosage of imidazole bases
AU Gaetani, E.; Laureri, C. F.
CS Ist. Chim. Farm. Tossicol., Univ. Parma, Parma, Italy
SO Farmaco, Ed. Prat. (1978), 33(1), 26-33
CODEN: FRPPAO; ISSN: 0430-0912
DT Journal
LA English
GI



I

AB Histamine di-HCl (I-di-HCl) and some of its methylated compds. were detd. by high-pressure liq. chromatog. (eluate visualization at 208 nm) on a microparticulate silica gel column, pretreated with .beta.-cyanoethyltriethoxysilane and HCl. The method is simple, selective, and precise and dets. 1 .mu.g I/mL in blood.
IT **10517-40-5**
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, by high-pressure liq. chromatog.)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



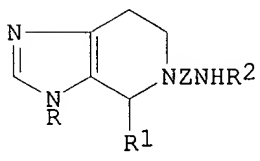
Searched by John Dantzman 703-308-4488

=> d bib abs hitstr 38

L49 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1977:601535 CAPLUS
DN 87:201535
TI 4,5,6,7-Tetrahydroimidazo[4,5-c]pyridine derivatives
IN Arcari, Giuliana; Bernardi, Luigi; Falconi, Giovanni; Luini, Fulvio; Palamidessi, Giorgio; Scarponi, Ugo
PA Societa Farmaceutici Italia S.p.A., Italy
SO Ger. Offen., 29 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2700012	A1	19770721	DE 1977-2700012	19770103
	NL 7614577	A	19770711	NL 1976-14577	19761230
	AU 7621010	A1	19780706	AU 1976-21010	19761231
	AU 506935	B2	19800131		
	DK 7700001	A	19770708	DK 1977-177	19770103
	SE 7700041	A	19770708	SE 1977-41	19770103
	SE 422062	B	19820215		
	SE 422062	C	19820527		
	DK 146655	B	19831128	DK 1977-1	19770103
	DK 146655	C	19840507		
	AT 7700018	A	19791015	AT 1977-18	19770104
	AT 356653	B	19800512		
	CA 1075240	A1	19800408	CA 1977-269126	19770104
	JP 52085191	A2	19770715	JP 1977-306	19770105
	FR 2337726	A1	19770805	FR 1977-162	19770105
	FR 2337726	B1	19800314		
	BE 850130	A1	19770502	BE 1977-173871	19770106
	ZA 7700071	A	19780222	ZA 1977-71	19770106
	SU 667136	D	19790605	SU 1977-2435956	19770106
	CH 626084	A	19811030	CH 1977-153	19770106
	US 4141899	A	19790227	US 1977-838844	19771003
PRAI	GB 1976-573	19760107			
	GB 1976-27071	19760629			
	US 1976-650357	19760119			
	GB 1976-2707	19760629			
	US 1977-756290	19770103			

GI



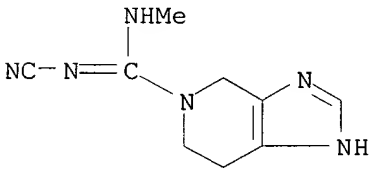
I

AB About 50 imidazo[4,5-c]pyridines I (R = H, Me; R1 = H, Me, Me2CH, Ph,

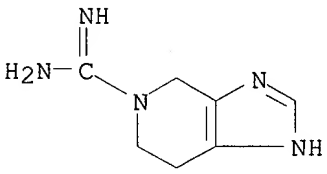
cyclohexyl, thienyl, etc; R2 = Me, Et, allyl, cyclopropyl; Z = CO, CS, C(:NCN), C(:NH), C(:NEt), etc.) were prepd. and tested for antiulcer activity. Thus, 1 g 4,5,6,7-tetrahydroimidazo[4,5-c]pyridine was refluxed
0.65 g MeNCS to give 1.15 g I (R = R1 = H, R2 = Me, Z = CS). Some I inhibited ulcer formation in rats at doses 5-25 times lower than those causing a cholinolytic effect.

IT **64402-91-1P 64402-92-2P 64402-93-3P**
64402-94-4P 64402-95-5P 64402-96-6P
64402-97-7P 64403-21-0P 64403-22-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 64402-91-1 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, N-cyano-1,4,6,7-tetrahydro-N'-methyl- (9CI) (CA INDEX NAME)

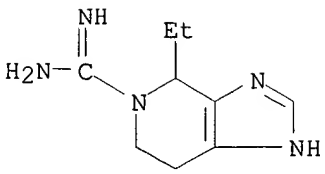


RN 64402-92-2 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 1,4,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



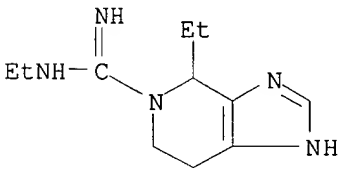
● HCl

RN 64402-93-3 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 4-ethyl-1,4,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



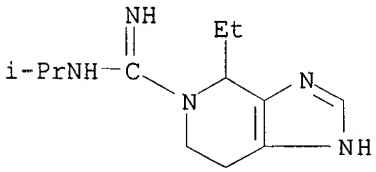
● HCl

RN 64402-94-4 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, N,4-diethyl-1,4,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



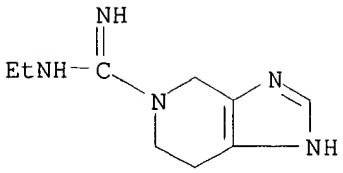
● HBr

RN 64402-95-5 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 4-ethyl-1,4,6,7-tetrahydro-N-(1-methylethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



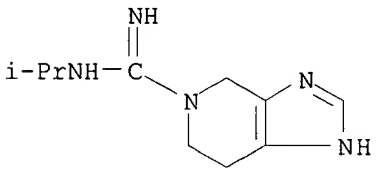
● HBr

RN 64402-96-6 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, N-ethyl-1,4,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



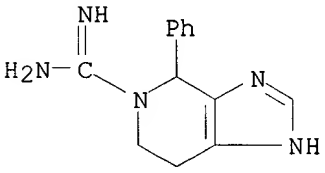
● HBr

RN 64402-97-7 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 1,4,6,7-tetrahydro-N-(1-methylethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



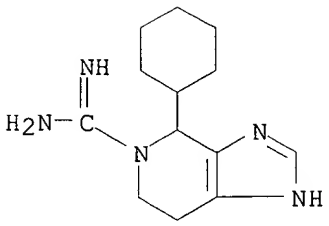
● HBr

RN 64403-21-0 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 1,4,6,7-tetrahydro-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

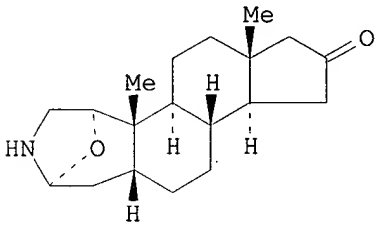
RN 64403-22-1 CAPLUS
CN 5H-Imidazo[4,5-c]pyridine-5-carboximidamide, 4-cyclohexyl-1,4,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=> d bib abs hitstr 39

L49 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1977:479326 CAPLUS
DN 87:79326
TI Antimicrobial activity of alkaloids from amphibian venoms and effects on the ultrastructure of yeast cells
AU Preusser, H. J.; Habermehl, G.; Sablofski, M.; Schmall-Haury, D.
CS Inst. Microbiol., Tech. Univ. Darmstadt, Darmstadt, Ger.
SO Anim., Plant Microb. Toxins, Proc. Int. Symp., 4th (1976), Meeting Date 1974, Volume 1, 273-86. Editor(s): Ohsaka, Akira; Hayashi, Kyozo, Sawai, Yoshio. Publisher: Plenum, New York, N. Y.
CODEN: 35FUAR
DT Conference
LA English
GI

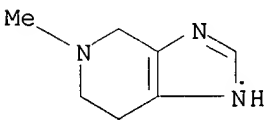


I

AB Samandarone (I) [467-52-7], samandarine [467-51-6], samandaridine [6384-73-2], spinaceamine [6882-74-2], 6-methylspinaceamine [**10517-40-5**], and bufotenine [487-93-4], alkaloid toxins isolated from the skin gland secretions of Salamandra maculosa and Leptodactylus pentadactylus, had bactericidal and(or) fungicidal activity. The min. concn. of many of the toxins inhibiting the growth of microorganisms was approx. that of classical antibiotics. Electron-microscopic studies of Saccharomyces cerevisiae after treatment with Salamandra maculosa toxins revealed lysis in the cytoplasm and in extensive regions of the cytoplasmic membrane. Protein synthesis of the cells may be inhibited through lysis of ribosomes.

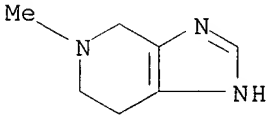
IT **10517-40-5**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(antimicrobial activity of)

RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 40

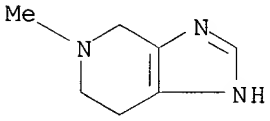
L49 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1976:490467 CAPLUS
DN 85:90467
TI Indole-, imidazole- and phenyl-alkylamines in the skin of one hundred amphibian species from Australia and Papua New Guinea
AU Roseghini, M.; Erspamer, V.; Endean, R.
CS Inst. Med. Pharmacol. I, Univ. Rome, Rome, Italy
SO Comp. Biochem. Physiol. C (1976), 54(1C), 31-43
CODEN: CBPCBB
DT Journal
LA English
AB The most frequently occurring amines in skins of 100 amphibian species from Australia and Papua New Guinea were indolealkylamines, represented by 5-hydroxytryptamine and its N-methylated derivs., the O-sulfates of bufotenine and bufotenidine, and the quaternary ammonium deriv. of tryptamine. Imidazolealkylamines were represented by histamine, N-acetylhistamine, N'-methylated histamines, and cyclized histamines in a few species.
IT **10517-40-5**
RL: BIOL (Biological study)
(of skin, of amphibia)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



Same as previous

=> d bib abs hitstr 41

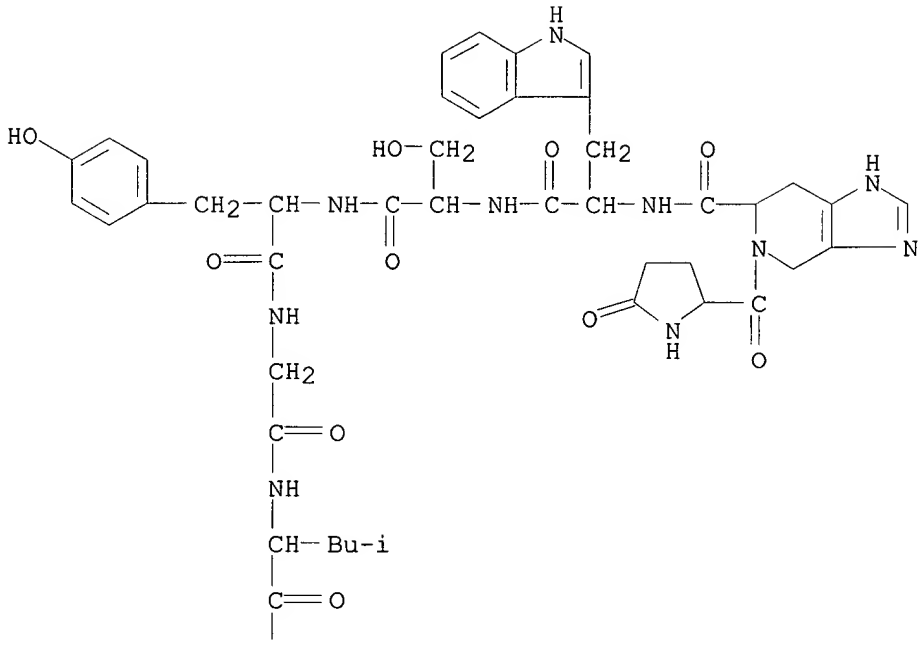
L49 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1976:162005 CAPLUS
DN 84:162005
TI New and uncommon indole and imidazole alkylamines in skins of amphibians from Australia and Papua New Guinea
AU Roseghini, M.; Endean, R.; Temperilli, A.
CS Inst. Med. Pharmacol. I, Univ. Rome, Rome, Italy
SO Z. Naturforsch., C: Biosci. (1976), 31C(3-4), 118-20
CODEN: ZNCBDA
DT Journal
LA English
AB Exts. of the skin of some amphibians contained, in addn. to the usual 5-hydroxyindolealkylamines and histamine, bufotenidine O-sulfate, 2-(3-indolyl)ethyltrimethylammonium, and bufotenine O-sulfate. Also present was a series of uncommon imidazolealkylamines, such as N'-acetylhistamine, N'-methylhistamine, N',N'-dimethylhistamine, spinaceamine, and 6-methylspinaceamine.
IT **10517-40-5**
RL: BIOL (Biological study)
(of skin, of amphibians)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



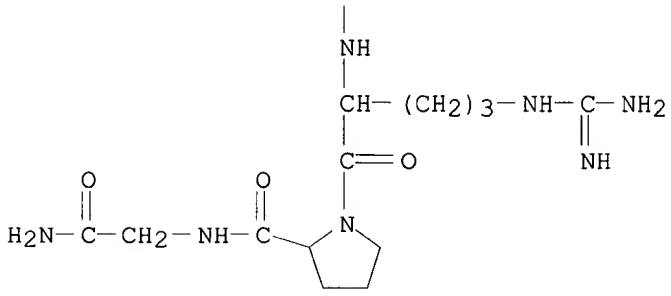
=> d bib abs hitstr 42

L49 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1976:69638 CAPLUS
DN 84:69638
TI Antiluteinizing hormone (LH)-releasing activity of several analogs of LH-releasing hormone
AU Vilchez-Martinez, Jesus A.; Coy, David H.; Coy, Esther; Schally, Andrew V.; Arimura, Akira
CS Endocrine Polypeptide Lab., VA Hosp., New Orleans, La., USA
SO Fertil. Steril. (1975), 26(6), 554-9
CODEN: FESTAS
DT Journal
LA English
AB In ovariectomized, estrogen-progesterone treated rats and in immature male rats, after synthetic LH-releasing hormone (LH-RH) [33515-09-2] injection, a 2 hr infusion of LH-releasing hormone analogs inhibited, but never completely, the increase in serum LH [9002-67-9]. Analogs tested were (Leu3)-LH-RH, (Leu3,desGly10)-LH-RH ethylamide [56867-47-1], (desHis2,Leu3,desGly10)-LH-RH ethylamide [56867-48-2], (Gly2,Leu3,desGly10)-LH-RH ethylamide [56867-49-3], (Leu1,desGly10)-LH-RH ethylamide [56867-50-6], (desHis2,Leu3,D-Ala6,desGly10)-LH-RH ethylamide [56867-51-7], (desHis2,D-Ala6,desGly10)-LH-RH ethylamide [56670-52-1], or (D-pGlu1,desHis2,desGly10)-LH-RH ethylamide [56867-52-8]. No significant differences were found among the analogs tested. The inhibitory potency was not improved with those peptides contg. D-alanine in position 6 of the chain. None of the analogs tested, blocked the LH-RH induced FSH [9002-68-0] release in these systems.
IT **56867-45-9**
RL: BIOL (Biological study)
(LH secretion inhibition by)
RN 56867-45-9 CAPLUS
CN Luteinizing hormone-releasing factor (swine), 2-(4,5,6,7-tetrahydro-1H-imidazo[4,5-c]-pyridine-6-carboxylic acid)- (9CI) (CA INDEX NAME)

PAGE 1-A

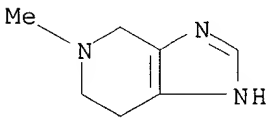


PAGE 2-A



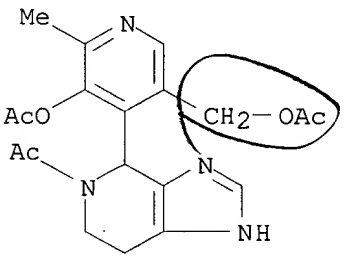
=> d bib abs hitstr 43

L49 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1973:532819 CAPLUS
DN 79:132819
TI Inhibition of histamine uptake in platelets by alkaloids
AU Tuomisto, J.; Walaszek, E. J.; Pazdernik, T. L.
CS Med. Cent., Univ. Kansas, Kansas City, Kans., USA
SO Ann. Med. Exp. Biol. Fenn. (1973), 51(2), 59-64
CODEN: AMEBA7
DT Journal
LA English
AB Imidazolylethylamines, indolylethylamines, and phenylethylamines could still inhibit histamine [51-45-6] uptake by blood platelets even when their side chain was tied to a rigid gauche conformation by a methylene bridge. This conformation resembled the gauche rotamer of the freely rotating amines. The potency of the indolylethylamine and phenylethylamine derivatives in inhibiting histamine uptake was similar to that of their parent amine of a free side chain, indicating that the gauche conformation can attach to the uptake receptor at the platelet membrane. Through a compound study reserpine [50-55-5] and tetrabenazine [58-46-8] showed 2 clearly separable effects. At low concns. these compounds influenced only the distribution of histamine in platelets, indicating inhibition of accumulation in amine granules. In higher concns. they also affected the uptake. With the other alkaloids, the effect on accumulation into granules was less prominent and occurred only at high concns.
IT **10517-40-5**
RL: BIOL (Biological study)
(histamine uptake by blood platelets inhibition by, conformation in relation to)
RN 10517-40-5 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



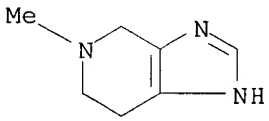
=> d bib abs hitstr 44

L49 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2000 ACS
AN 1972:135798 CAPLUS
DN 76:135798
TI Synthesis of heterocyclic compounds. 438. Biochemical studies on drugs and the central nervous system. 1. Synthesis and activity of pyridoxal derivatives
AU Kametani, T.; Koizumi, M.; Okui, K.; Nishii, Y.; Ono, M.
CS Pharm. Inst., Tohoku Univ., Sendai, Japan
SO J. Med. Chem. (1972), 15(2), 203-4
CODEN: JMCMAR
DT Journal
LA English
AB 1,2,3,4-Tetrahydro-1-pyridoxylisoquinolines (I) and II derivs. were prepd.
by cyclization of pyridoxal with 3-hydroxyphenethylamines. 4-Pyridoxyl-4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridine (II) [4875-52-9] and triacetyl-4-pyridoxyl-4,5,6,7-tetrahydro-3H-imidazo[4,5-c]pyridine (III) [**34594-38-2**] have slightly more and 4,6,7-trihydroxy-1,2,3,4-tetrahydro-1-pyridoxylisoquinoline [34594-39-3] and 6-hydroxy-7-methoxy-1,2,3,4-tetrahydro-1-pyridoxylisoquinoline [34594-40-6] have the same analgesic effects as aminophylline [317-34-0] when tested orally in mice (100 mg/kg compd. in 1% gum arabic).
IT **34594-38-2**
RL: BIOL (Biological study)
(nervous system response to)
RN 34594-38-2 CAPLUS
CN 1H-Imidazo[4,5-c]pyridine, 5-acetyl-4-[3-(acetyloxy)-5-[(acetyloxy)methyl]-2-methyl-4-pyridinyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



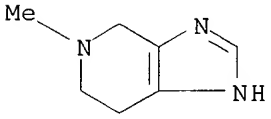
=> d all hitstr

L50 ANSWER 1 OF 5 CAOLD COPYRIGHT 2000 ACS
AN CA65:4319e CAOLD
TI biogenic amines and active polypeptides in the amphibian skin
AU Erspamer, Vittorio; Falconieri Erspamer, G.
IT 50-67-9 51-45-6 56-69-9 58-82-2 61-54-1 332-80-9
487-91-2 495-77-2 587-33-7 588-05-6 608-07-1 673-46-1
673-49-4 673-50-7 1019-45-0 1134-01-6 2009-03-2 6656-13-9
6882-74-2 **10517-40-5** 13957-33-0 16369-08-7 24886-03-1
59981-63-4
IT **10517-40-5**
RN 10517-40-5 CAOLD
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



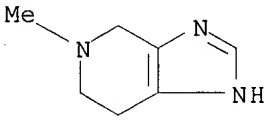
=> d all hitstr 2

L50 ANSWER 2 OF 5 CAOLD COPYRIGHT 2000 ACS
AN CA61:6087h CAOLD
TI tyrosine O-phosphate in Drosophila
AU Mitchell, Herschel K.; Lunan, K. D.
IT 673-46-1 673-50-7 6882-74-2 **10517-40-5** 21820-51-9
IT **10517-40-5**
RN 10517-40-5 CAOLD
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



=> d all hitstr 3

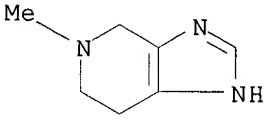
L50 ANSWER 3 OF 5 CAOLD COPYRIGHT 2000 ACS
AN CA61:5709h CAOLD
TI structure of spinaceamine
AU Vitali, Tullo; Bertaccini, G.
IT 6882-74-2 **92223-95-5** 92503-69-0 97193-78-7 98472-36-7
IT **92223-95-5**
RN 92223-95-5 CAOLD
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

=> d all hitstr 4

L50 ANSWER 4 OF 5 CAOLD COPYRIGHT 2000 ACS
AN CA61:4755b CAOLD
TI nucleic acid content of tissues of cecropia silkmoth pupae
AU Linzen, Bernt; Wyatt, G. R.
IT 501-22-4 673-46-1 673-50-7 6882-74-2 **10517-40-5**
IT **10517-40-5**
RN 10517-40-5 CAOLD
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



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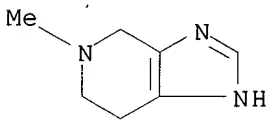
L50 ANSWER 5 OF 5 CAOLD COPYRIGHT 2000 ACS
AN CA59:6754c CAOLD
TI eye pigment of the cockroach
AU Wolken, Jerome J.; Scher, I. J.
IT 673-46-1 673-50-7 6882-74-2 **10517-40-5**
IT **10517-40-5**
RN 10517-40-5 CAOLD
CN 1H-Imidazo[4,5-c]pyridine, 4,5,6,7-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



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5 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE